STIC Search Report
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STIC Database Tracking Number: 124141

TO: Deborah Lambkin **Location:** **Art Unit: 1626** **June 8, 2004** **Case Serial Number: 10/616359**	**From: P. Sheppard** **Location: Remsen Building** **Phone: (571) 272-2529** **sheppard@uspto.gov**

Search Notes

Access DB# 124141

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Derek Lambkin Examiner # : 71300 Date: 6/7/04
Art Unit: 1626 Phone Number 30 571 272 0696 Serial Number: 10/606,357
Mail Box and Bldg/Room Location: Alex 9 151 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

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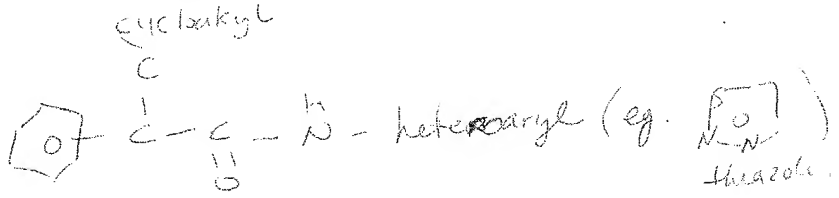
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: HETEROAROMATIC GLUCOKINASE

Inventors (please provide full names): Bizzarro et al

Earliest Priority Filing Date: _____

***For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.**



See document attached.

Thank You

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Searcher Location: _____
Date Searcher Picked Up: _____
Date Completed: 6/8/04
Searcher Prep & Review Time: _____
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Online Time: _____

Type of Search

NA Sequence (#)_____
AA Sequence (#)_____
Structure (#) _____
Bibliographic _____
Litigation _____
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Patent Family _____
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Vendors and cost where applicable

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PTO-1590 (8-01)

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FILE COVERS 1907 - 8 Jun 2004  VOL 140 ISS 24
FILE LAST UPDATED: 7 Jun 2004  (20040607/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


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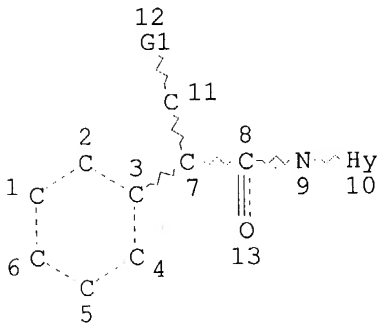


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NUMBER OF NODES IS  13

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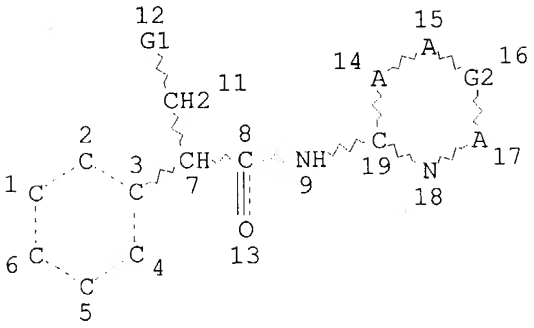

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L13 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L12 AND PD=< MARCH 3, 1999

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L13 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:615181 HCAPLUS
DOCUMENT NUMBER: 123:9158
TITLE: Preparation of 2,3-diphenylpropanoates and N-(2,3-diphenylpropanoyl)benzenesulfonamides as endothelin antagonists
INVENTOR(S): Greenlee, William J.; Walsh, Thomas F.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9503295	A1	19950202	WO 1994-US7693	19940715 <--
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KR, KZ, LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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AU 9472571	A1	19950220	AU 1994-72571	19940715 <--
AU 683677	B2	19971120		
EP 710235	A1	19960508	EP 1994-922117	19940715 <--

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US 1994-267981 A 19940707
WO 1994-US7693 W 19940715

OTHER SOURCE(S): MARPAT 123:9158
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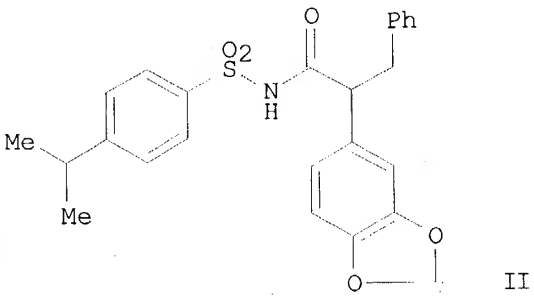

II

AB R1CR2R8(CR92)mR10 [I; R1,R10 = (un)substituted Ph; R2 = CO2H, alkoxycarbonyl, CONHSO2Ph, etc.; R8 = H, alkyl, Ph, etc.; R9 = H (cyclo)alkyl, CO2H, alkoxycarbonyl,CONH2, etc.] were prepared Thus, 4(Me2HC)C6H4SO2NH2 was N-acylated by 3,4-methylenedioxyphenylacetic acid and the product alkylated by PhCH2Br to give title compound II. Selected I had IC50 of at least <50μM (sic) against endothelin binding at cloned human endothelin receptors in vitro.

IT **163844-30-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2,3-diphenylpropanoates and N-(2,3-diphenylpropanoyl)benzenesulfonamides as endothelin antagonists)

L13 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1964:4864 HCAPLUS
DOCUMENT NUMBER: 60:4864
ORIGINAL REFERENCE NO.: 60:885c-f
TITLE: Effect of organic acids of pyridyl and thiazolylamides on certain members of coli-typhosal, staphylococcal, streptococcal groups and on acid-resistant mycobacteria
AUTHOR(S): Mndzhoyan, A. L.; Apoyan, N. A.; Zhuruli, L. D.; Ter-Zakharyan, Yu. Zh.
SOURCE: Biol. Svoistva Khim. Soedin., Akad. Nauk Arm. SSR, Inst. Tonkoi Organ. Khim. (**1962**), (1), 219-33
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB A series of compds. of various organic acids were obtained by using 2-aminopyridine and 4-methyl-2-aminothiazole as starting amines and varying the acid part of the mol. Aminopyridine derivs. (30) and 4-methyl-2-aminothiazole derivs. (30) were tested in vitro with respect to Escherichia coli, Shigella flexneri, Salmonella schottmuelleri, S. typhosa, Staphylococcus aureus, Streptococcus pyogenes, Mycobacterium tuberculosis, and Mycobacterium strains. Acid aliphatic derivs. and some aryl aliphatic acids appeared to be most active on microbes of coli-typhoid group. Apparently acid residues play an important role in

the creation of new biologically active compds. The action of 4-methyl-2-thiazolyl amides on S. typhosa proved to be more intensive than that of 2-pyridyl amides in reserving the same acid residue. A certain relation appears to exist between C-chain length and the tuberculocidal activity in fatty acid amides. The amides of acetic and propionic acids are most active. In relation to acid-resistant mycobacteria, the phenylamides of formic, acetic, and propionic acids are more active than the corresponding unsubstituted amides as well as those of unsatd. organic acids. Among all tested compds., α,β-diphenylpropionic acid 4-methyl-2-thiazolylamide possesses the highest activity on M. tuberculosis.

IT **94378-14-0**, Propionamide, N-(4-methyl-2-thiazolyl)-2,3-diphenyl-
95554-57-7, Propionamide, 3-(p-nitrophenyl)-2-phenyl-N-2-pyridyl-
(bactericidal action of)

IT **94332-58-8**, Propionamide, N-(4-methyl-2-thiazolyl)-3-(p-nitrophenyl)-2-phenyl-
(badtericidal action of)

L13 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1958:25545 HCAPLUS
DOCUMENT NUMBER: 52:25545
ORIGINAL REFERENCE NO.: 52:4641a-c
TITLE: Amides of the pyridine and thiazole series
AUTHOR(S): Mndzhoyan, A. L.; Afrikyan, V. G.
SOURCE: Izvest. Akad. Nauk Armyan. S.S.R., Ser. Khim. Nauk (**1957**), 10, 143-56
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB The following R1NHCOR2 (I) and R3NHCOR2 (II) (R1 = 4-methyl-2-thiazolyl and R3 = 2-pyridyl) were prepared and their activities against Mycobacterium B5 and Mycobacterium tuberculosis K6 determined (R2, and m.ps. of I and II given): H, 99-9.5°, 73-4°; Me, 135°, 66-7°; Et, 108-9°, 60-1°; Pr, 84-5°, 46-7°; Bu, 62-3°, 37-8°; Ph, 148-9°, 81-2°; PhCH2, 117-18°, 121°; Ph(CH2)2, 114°, 87°; Ph(CH2)3, 141-2°, 69-70°; p-MeOC6H4, 97°, 80°; PhCH:CH, 148-9°, 140°; PhCH2CHMe, 114-15°, 91°; PhCH2CHPh, 104°, 84°; PhCH:CPh, 141°, 105-6°; (PhCH2)2 CH, 136°, 138°; Ph2CH, 175°, 124°; p-O2NC6H4CH:CPh, 200°, 180°; R4(CH2)2(R4 = 2-furyl). 12°, 54-5°; R4CH:CH, 200°, 154°; R4CH2CHPh, 136-7°, 105°; R4CH:CPh, 160-1°, 125°. I and II (R2 = PhCH2CHPh) were the most active bacteriostats.

IT **94378-14-0**, Propionamide, N-(4-methyl-2-thiazolyl)-2,3-diphenyl-
99750-10-4, Propionamide, 2,3-diphenyl-N-2-pyridyl-
(preparation of)

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E1 THROUGH E5 ASSIGNED

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STRUCTURE FILE UPDATES: 7 JUN 2004 HIGHEST RN 690625-61-7
DICTIONARY FILE UPDATES: 7 JUN 2004 HIGHEST RN 690625-61-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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=> s e1-e5
1 94378-14-0/BI
(94378-14-0/RN)
1 163844-30-2/BI
(163844-30-2/RN)
1 94332-58-8/BI
(94332-58-8/RN)
1 95554-57-7/BI
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1 99750-10-4/BI
(99750-10-4/RN)
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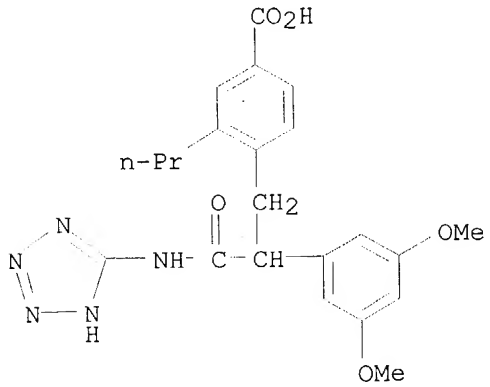
L14 ANSWER 1 OF 5 REGISTRY COPYRIGHT 2004 ACS on STN
RN **163844-30-2** REGISTRY
CN Benzoic acid, 4-[2-(3,5-dimethoxyphenyl)-3-oxo-3-(1H-tetrazol-5-ylamino)propyl]-3-propyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H25 N5 O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 123:9158

L14 ANSWER 2 OF 5 REGISTRY COPYRIGHT 2004 ACS on STN
RN **99750-10-4** REGISTRY
CN Propionamide, 2,3-diphenyl-N-2-pyridyl- (7CI) (CA INDEX NAME)
FS 3D CONCORD
MF C20 H18 N2 O
SR CAOLD
LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, TOXCENTER
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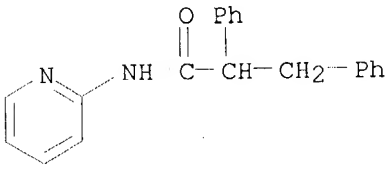
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: NORL (No role in record)



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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 52:25545

L14 ANSWER 3 OF 5 REGISTRY COPYRIGHT 2004 ACS on STN
RN **95554-57-7** REGISTRY
CN Propionamide, 3-(p-nitrophenyl)-2-phenyl-N-2-pyridyl- (7CI) (CA INDEX NAME)
FS 3D CONCORD
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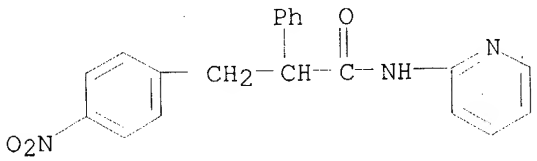
LC STN Files: CA, CAOLD, CAPLUS, TOXCENTER
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: NORL (No role in record)



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1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 60:4864

L14 ANSWER 4 OF 5 REGISTRY COPYRIGHT 2004 ACS on STN
RN **94378-14-0** REGISTRY
CN Propionamide, N-(4-methyl-2-thiazolyl)-2,3-diphenyl- (6CI, 7CI) (CA INDEX NAME)
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MF C19 H18 N2 O S
LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, TOXCENTER
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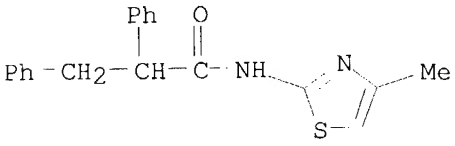

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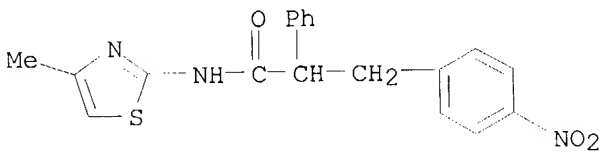
2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 60:4864

REFERENCE 2: 52:25545

L14 ANSWER 5 OF 5 REGISTRY COPYRIGHT 2004 ACS on STN
RN **94332-58-8** REGISTRY
CN Propionamide, N-(4-methyl-2-thiazolyl)-3-(p-nitrophenyl)-2-phenyl- (7CI) (CA INDEX NAME)
FS 3D CONCORD
MF C19 H17 N3 O3 S
LC STN Files: CA, CAOLD, CAPLUS, TOXCENTER
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: NORL (No role in record)



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1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 60:4864

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FILE COVERS 1907 - 8 Jun 2004  VOL 140 ISS 24
FILE LAST UPDATED: 7 Jun 2004  (20040607/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


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L10             STR
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L13           3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L12 AND PD=< MARCH 3, 1999
L15          10 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L12 NOT L13


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L15  ANSWER 1 OF 10  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2003:913152  HCAPLUS
DOCUMENT NUMBER:          139:395954
TITLE:                    Preparation of N-heteroaryl phenylacetamides and
                          related compounds as glucokinase activators for
                          treatment of type II diabetes
INVENTOR(S):              Corbett, Wendy Lea; Grimsby, Joseph Samuel; Haynes,
                          Nancy-Ellen; Kester, Robert Francis; Mahaney, Paige
                          Erin; Racha, Jagdish Kumar; Sarabu, Ramakanth; Wang,
                          Ka
PATENT ASSIGNEE(S):       F. Hoffmann-La Roche AG, Switz.
SOURCE:                   PCT Int. Appl., 172 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
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Applicant

WO 2003095438 A1 20031120 WO 2003-EP3844 20030414
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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OTHER SOURCE(S): MARPAT 139:395954
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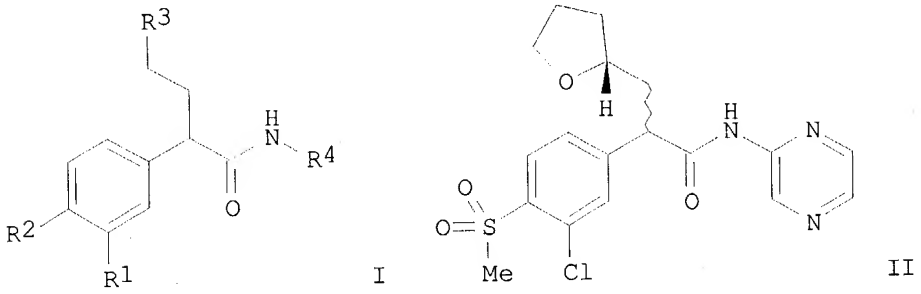

AB Title compds. I [wherein R1 and R2 = independently H, halo, (hydroxy)amino, CN, NO2, (perfluoro)alkyl, (perfluoro)alkylthio, (perfluoro)alkylsulfonyl, alkylsulfinyl, sulfonamido, OR5, or CO2R6; R3 = (un)substituted unbranched (hetero)alkyl; or CR3 = (hetero)cyclyl; R4 = CONHR6 or (un)substituted heteroaryl; R5 = H or (perfluoro)alkyl; R6 = alkyl; and pharmaceutically acceptable salts thereof] were prepared as glucokinase (GK) activators. For example, reaction of (3-chloro-4-methylsulfanylphenyl)acetic acid Me ester and trifluoromethanesulfonic acid ((R)-tetrahydrofuran-2-yl)methyl ester (preparation of starting materials given) produced 2-(3-chloro-4-methylsulfanylphenyl)-3-(tetrahydrofuran-2(R)-yl)propionic acid Me ester (52%), which was saponified with 0.8M aqueous LiOH to give the acid (95.8%). Amidation with 2-aminopyrazine (66.1%) in the presence of DMF and oxalyl chloride in CH2Cl2, followed by oxidation with 30% aqueous hydrogen peroxide afforded II (67.1%). SC1.5 (concentration producing a 50% increase in activity) values of ≤ 30 μM for activation of human liver GK1 expressed in E. coli as a glutathione S-transferase fusion protein (GST-GT) were obtained for all of the synthesized invention compds. Thus, I and their pharmaceutical compns. are useful in the treatment of type II diabetes (no data).

IT **625112-91-6P**, 2-(R)-[3-Chloro-4-(methanesulfonyl)phenyl]-N-(5-chloropyrazin-2-yl)-3-(4-oxocyclohexyl)propionamide **625113-26-0P**, 2-(3,4-Dichlorophenyl)-3-(2-hydroxycyclopentyl)-N-(thiazol-2-yl)propionamide **625113-30-6P**, 3-(2-Hydroxycyclopentyl)-2-[4-(methanesulfonyl)phenyl]-N-(thiazol-2-yl)propionamide **625113-40-8P** **625113-84-0P**, 2-(3,4-Dichlorophenyl)-3-(3-hydroxycyclopentyl)-N-(thiazol-2-yl)propionamide **625113-89-5P**, 3-(3-Hydroxycyclopentyl)-2-[4-(methanesulfonyl)phenyl]-N-(thiazol-2-yl)propionamide **625113-95-3P** **625114-16-1P**, 2-(3,4-Dichlorophenyl)-3-(3-oxocyclopentyl)-N-(thiazol-2-yl)propionamide

625114-26-3P, 2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-(3-oxocyclopentyl)-N-(pyrazin-2-yl)propionamide **625114-44-5P**, N-(5-Bromopyrazin-2-yl)-2-[3-chloro-4-(methanesulfonyl)phenyl]-3-(3-oxocyclopentyl)propionamide **625114-55-8P**, 2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-(4-oxocyclohexyl)-N-(pyrazin-2-yl)propionamide **625114-61-6P**, N-(5-Bromopyrazin-2-yl)-2-[3-chloro-4-(methanesulfonyl)phenyl]-3-(4-oxocyclohexyl)propionamide **625114-62-7P 625114-65-0P 625114-67-2P 625114-68-3P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(GK activator; preparation of phenylacetamides as glucokinase activators for treatment of type II diabetes)

IT **625113-36-2P**, 2-(3,4-Dichlorophenyl)-3-(2-oxocyclopentyl)-N-(thiazol-2-yl)propionamide **625113-38-4P**, 2-[4-(Methanesulfonyl)phenyl]-3-(2-oxocyclopentyl)-N-(thiazol-2-yl)propionamide **625113-54-4P 625113-56-6P**, 2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-(2-hydroxyiminocyclopentyl)-N-(pyrazin-2-yl)propionamide **625113-65-7P**, 2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-[2-(methoxyimino)cyclopentyl]-N-(pyrazin-2-yl)propionamide **625113-67-9P**, 2-(3,4-Dichlorophenyl)-3-(2,2-difluorocyclopentyl)-N-(thiazol-2-yl)propionamide **625114-04-7P**, 2-(3,4-Dichlorophenyl)-3-(3-methoxycyclopentyl)-N-(thiazol-2-yl)propionamide **625114-08-1P**, Acetic acid 3-[2-(3,4-dichlorophenyl)-2-[(thiazol-2-yl)carbamoyl]ethyl]cyclopentyl ester **625114-10-5P**, 2-(3,4-Dichlorophenyl)-3-(3-fluorocyclopentyl)-N-(thiazol-2-yl)propionamide **625114-24-1P**, 2-[4-(Methanesulfonyl)phenyl]-3-(3-oxocyclopentyl)-N-(thiazol-2-yl)propionamide **625114-35-4P 625114-41-2P 625114-45-6P**, 2-(3,4-Dichlorophenyl)-3-(3-hydroxyiminocyclopentyl)-N-(thiazol-2-yl)propionamide **625114-46-7P**, 2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-(3-hydroxyiminocyclopentyl)-N-(pyrazin-2-yl)propionamide **625114-47-8P**, N-(5-Bromopyrazin-2-yl)-2-[3-chloro-4-(methanesulfonyl)phenyl]-3-(3-hydroxyiminocyclopentyl)propionamide **625114-48-9P**, 2-(3,4-Dichlorophenyl)-3-[3-(methoxyimino)cyclopentyl]-N-(thiazol-2-yl)propionamide **625114-49-0P**, 2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-[3-(methoxyimino)cyclopentyl]-N-(pyrazin-2-yl)propionamide **625114-50-3P**, N-(5-Bromopyrazin-2-yl)-2-[3-chloro-4-(methanesulfonyl)phenyl]-3-[3-(methoxyimino)cyclopentyl]propionamide **625114-51-4P**, 2-(3,4-Dichlorophenyl)-3-(3,3-difluorocyclopentyl)-N-(thiazol-2-yl)propionamide **625114-54-7P**, 2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-(3-hydroxy-3-methylcyclopentyl)-N-(pyrazin-2-yl)propionamide **625114-69-4P**, 2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-(4-hydroxyiminocyclohexyl)-N-(pyrazin-2-yl)propionamide **625114-70-7P**, N-(5-Bromopyrazin-2-yl)-2-[3-chloro-4-(methanesulfonyl)phenyl]-3-(4-hydroxyiminocyclohexyl)propionamide **625114-71-8P 625114-72-9P 625114-73-0P 625114-74-1P 625114-75-2P 625114-76-3P**, 2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-[4-(methoxyimino)cyclohexyl]-N-(pyrazin-2-yl)propionamide **625114-77-4P**, N-(5-Bromopyrazin-2-yl)-2-[3-chloro-4-(methanesulfonyl)phenyl]-3-[4-(methoxyimino)cyclohexyl]propionamide **625826-90-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(GK activator; preparation of phenylacetamides as glucokinase activators for treatment of type II diabetes)

IT **625113-33-9P**, 2-[4-(Methanesulfonyl)phenyl]-3-[2-[(tetrahydropyran-2-yl)oxy]cyclopentyl]-N-(thiazol-2-yl)propionamide **625113-63-5P**, 2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-(2-oxocyclopentyl)-N-(pyrazin-2-yl)propionamide **625113-93-1P**, 2-[4-(Methanesulfonyl)phenyl]-3-[3-

[(tetrahydropyran-2-yl)oxy]cyclopentyl]-N-(thiazol-2-yl)propionamide
625114-02-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phenylacetamides as glucokinase activators for treatment of type II diabetes)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
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DOCUMENT NUMBER: 139:214460
TITLE: Preparation of cycloalkylheteroaryl propionamides as glucokinase activators for treatment of type II diabetes
INVENTOR(S): Bizzarro, Fred Thomas; Corbett, Wendy Lea; Grippo, Joseph Francis; Haynes, Nancy-Ellen; Holland, George William; Kester, Robert Francis; Mahaney, Paige Erin; Sarabu, Ramakanth
PATENT ASSIGNEE(S): Hoffmann-La Roche Inc., USA
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6610846	B1	20030826	US 2000-675781	20000928
US 2001039344	A1	20011108	US 2000-526143	20000315
US 6320050	B2	20011120		
ZA 2001007833	A	20021223	ZA 2001-7833	20010921
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US 1999-126707P P 19990329
US 1999-165944P P 19991117
US 2000-526143 A2 20000315
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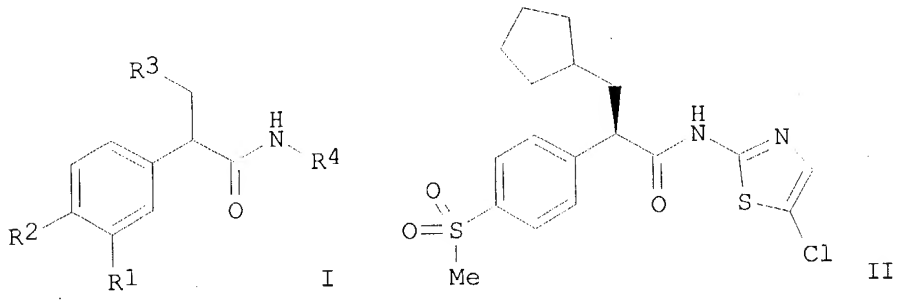


AB Title compds. [I; R1, R2 = H, halo, amino, hydroxyamino, NO2, cyano, sulfonamido, perfluoroalkyl, alkylthio, alkylsulfonyl, alkylsulfinyl, etc.; R3 = alkyl, cycloalkyl; R4 = certain un- or monosubstituted 5- and 6-membered heteroarom. rings connected by a ring C atom; R4 (claims) = un- or monosubstituted triazine, pyrazine, or pyridazine; and their pharmaceutical acceptable salts], were prepared via amidation, for use as glucokinase activators for treatment of type II diabetes. Thus, the invention compound N-(5-chlorothiazol-2-yl)-3-cyclopentyl-2(R)-[4-

(methanesulfonyl)phenyl]propionamide (II) was prepared by addition of 3-cyclopentyl-2(R)-[4-(methanesulfonyl)phenyl]propionic acid (preparation given) to a stirred mixture of triphenylphosphine and N-bromosuccinimide in methylene chloride at 0°, followed by stirring at room temperature for 30 min, addition of a solution of 2-amino-5-chlorothiazole hydrochloride and pyridine in methylene chloride, and stirring at 25° overnight. All of the exemplified compds. I activated glucokinase in vitro, exhibiting an SC1.5 ≤ 30 μM. Selected invention compds. exhibited glucokinase activator activity in vivo when administered orally to mice. Thus, I are expected to increase insulin secretion in the treatment of type II diabetes.

IT **300353-44-0P**, 3-Cyclopentyl-2-(4-nitrophenyl)-N-pyridin-2-ylpropionamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(glucokinase activator, intermediate; preparation of cycloalkylheteroaryl propionamides as glucokinase activators)

IT **300352-88-9P**, [2-[[3-Cyclopentyl-2-(3,4-dichlorophenyl)propionyl]amino]thiazol-4-yl]acetic acid ethyl ester **300352-92-5P**, 2-[[3-Cyclopentyl-2-(3,4-dichlorophenyl)propionyl]amino]thiazole-5-carboxylic acid ethyl ester **300352-96-9P**, 3-Cyclopentyl-2-[4-(methanesulfonyl)phenyl]-N-(thiazol-2-yl)propionamide **300352-98-1P**, 2-[[3-Cyclopentyl-2-[4-(methanesulfonyl)phenyl]propionyl]amino]thiazole-4-carboxylic acid ethyl ester **300353-00-8P**, [2-[[3-Cyclopentyl-2-[4-(methanesulfonyl)phenyl]propionyl]amino]thiazol-4-yl]acetic acid ethyl ester **300353-06-4P**, 3-Cyclopentyl-2-[4-(methylsulfanyl)phenyl]-N-(thiazol-2-yl)propionamide **300353-13-3P**, (2R)-3-Cyclopentyl-2-[4-(methanesulfonyl)phenyl]-N-(thiazol-2-yl)propionamide **300353-14-4P**, 3-Cyclopentyl-2-[4-(methanesulfonyl)-3-nitrophenyl]-N-(thiazol-2-yl)propionamide **300353-18-8P**, [2-[[3-Cyclopentyl-2-(3,4-dichlorophenyl)propionyl]amino]thiazol-4-yl]acetic acid **300353-19-9P**, 2-[[3-Cyclopentyl-2-(3,4-dichlorophenyl)propionyl]amino]thiazole-5-carboxylic acid **300353-20-2P**, 2-[[3-Cyclopentyl-2-(3,4-dichlorophenyl)propionyl]amino]thiazole-4-carboxylic acid **300353-24-6P**, 3-Cyclopentyl-2-(4-nitrophenyl)-N-(thiazol-2-yl)propionamide **300353-26-8P**, [2-[[3-Cyclopentyl-2-(4-nitrophenyl)propionyl]amino]thiazol-4-yl]acetic acid ethyl ester **300353-27-9P**, [2-[[3-Cyclopentyl-2-(4-nitrophenyl)propionyl]amino]thiazol-4-yl]acetic acid methyl ester **300353-29-1P**, 2-[[3-Cyclopentyl-2-(4-nitrophenyl)propionyl]amino]thiazole-4-carboxylic acid methyl ester **300353-31-5P**, [2-[[2-(3-Chlorophenyl)-3-cyclopentylpropionyl]amino]thiazol-4-yl]acetic acid ethyl ester **300353-34-8P**, [2-[[2-(4-Chlorophenyl)-3-cyclopentylpropionyl]amino]thiazol-4-yl]acetic acid ethyl ester **300353-35-9P**, 2-[[2-(4-Chlorophenyl)-3-cyclopentylpropionyl]amino]thiazole-4-carboxylic acid methyl ester **300353-50-8P**, 6-[[3-Cyclopentyl-2(R)-(3,4-dichlorophenyl)propionyl]amino]nicotinic acid methyl ester **300353-51-9P**, 6-[[3-Cyclopentyl-2-(3,4-dichlorophenyl)propionyl]amino]nicotinic acid **300354-23-8P**, 3-Cyclopentyl-2-(3-methoxyphenyl)-N-(thiazol-2-yl)propionamide **300354-26-1P**, 3-Cyclopentyl-2-(3,4-dimethoxyphenyl)-N-(thiazol-2-yl)propionamide **300354-28-3P**, 3-Cyclopentyl-2-(4-methoxyphenyl)-N-(thiazol-2-yl)propionamide **300354-31-8P**, 3-Cyclopentyl-2-(3-fluoro-4-methoxyphenyl)-N-(thiazol-2-yl)propionamide **300354-34-1P**, 6-[[3-Cyclopentyl-2-(4-nitrophenyl)propionyl]amino]nicotinic acid methyl ester **300355-31-1P**, 2-[[2-(4-Chlorophenyl)-3-cyclopentylpropionyl]amino]thiazole-4-carboxylic acid ethyl ester **300355-33-3P**, 6-[[2-(4-Chlorophenyl)-3-cyclopentylpropionyl]amino]nicotinic acid methyl ester

300355-36-6P, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-[5-(carboxymethyl)pyridin-2-yl]propionamide **300355-44-6P**, 2-[[3-Cyclopentyl-2-(4-nitrophenyl)propionyl]amino]thiazole-4-carboxylic acid ethyl ester **300355-49-1P**, 6-[[3-Cyclopentyl-2-[4-(methanesulfonyl)phenyl]propionyl]amino]nicotinic acid methyl ester **300356-30-3P**, 6-[[2-(3-Chlorophenyl)-3-cyclopentylpropionyl]amino]nicotinic acid methyl ester **300356-87-0P**, 2-[[2-(3-Chlorophenyl)-3-cyclopentylpropionyl]amino]thiazole-4-carboxylic acid ethyl ester
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(glucokinase activator; preparation of cycloalkylheteroaryl propionamides as glucokinase activators)

IT **300352-85-6P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-(thiazol-2-yl)-propionamide **300352-86-7P**, [2-[[3-Cyclopentyl-2-(3,4-dichlorophenyl)propionyl]amino]thiazol-4-yl](oxo)acetic acid ethyl ester **300352-87-8P**, [2-[[3-Cyclopentyl-2-(3,4-dichlorophenyl)propionyl]amino]thiazol-5-yl](oxo)acetic acid ethyl ester **300352-89-0P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-(5-methylthiazol-2-yl)propionamide **300352-90-3P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-(4-methylthiazol-2-yl)propionamide **300352-93-6P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-(5-nitrothiazol-2-yl)propionamide **300352-94-7P**, 2-[[3-Cyclopentyl-2-(3,4-dichlorophenyl)propionyl]amino]thiazole-4-carboxylic acid amide **300352-95-8P**, 2-(4-Bromophenyl)-3-cyclopentyl-N-(thiazol-2-yl)propionamide **300352-97-0P**, 2-[[3-Cyclopentyl-2-[4-(methanesulfonyl)phenyl]propionyl]amino]thiazole-4-carboxylic acid methyl ester **300352-99-2P**, [2-[[3-Cyclopentyl-2-[4-(methanesulfonyl)phenyl]propionyl]amino]thiazol-4-yl]acetic acid methyl ester **300353-01-9P**, 2-(4-Aminophenyl)-3-cyclopentyl-N-(thiazol-2-yl)propionamide **300353-02-0P**, 2-(3-Aminophenyl)-3-cyclopentyl-N-(thiazol-2-yl)propionamide **300353-03-1P**, 2-(3-Chlorophenyl)-3-cyclopentyl-N-(thiazol-2-yl)propionamide **300353-04-2P**, 2-(4-Chlorophenyl)-3-cyclopentyl-N-(thiazol-2-yl)propionamide **300353-05-3P**, 3-Cyclopentyl-N-(thiazol-2-yl)-2-(4-trifluoromethylphenyl)propionamide **300353-07-5P**, 3-Cyclopentyl-N-(thiazol-2-yl)-2-[4-(trifluoromethylsulfanyl)phenyl]propionamide **300353-08-6P**, 3-Cyclopentyl-N-(thiazol-2-yl)-2-[4-(trifluoromethanesulfonyl)phenyl]propionamide **300353-09-7P**, 2-[[3-Cyclopentyl-2-[4-(trifluoromethanesulfonyl)phenyl]propionyl]amino]thiazole-4-carboxylic acid methyl ester **300353-10-0P**, 2-[[3-Cyclopentyl-2-[4-(trifluoromethanesulfonyl)phenyl]propionyl]amino]thiazole-4-carboxylic acid ethyl ester **300353-11-1P**, [2-[[3-Cyclopentyl-2-[4-(trifluoromethanesulfonyl)phenyl]propionyl]amino]thiazol-4-yl]acetic acid methyl ester **300353-12-2P**, 2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-(thiazol-2-yl)propionamide **300353-15-5P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-(5-hydroxymethylthiazol-2-yl)propionamide **300353-16-6P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-[4-(2-hydroxyethyl)thiazol-2-yl]propionamide **300353-17-7P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-(4-hydroxymethylthiazol-2-yl)propionamide **300353-21-3P**, [2-[[3-Cyclopentyl-2-(3,4-dichlorophenyl)propionyl]amino]thiazol-4-yl]acetic acid methyl ester **300353-22-4P**, 2-[[3-Cyclopentyl-2-(3,4-dichlorophenyl)propionyl]amino]thiazole-4-carboxylic acid methyl ester **300353-23-5P**, 2-[[3-Cyclopentyl-2-(3,4-dichlorophenyl)propionyl]amino]thiazole-5-carboxylic acid methyl ester **300353-25-7P**, [2-[[3-Cyclopentyl-2-(4-nitrophenyl)propionyl]amino]thiazol-4-yl]-(oxo)acetic acid ethyl ester **300353-28-0P**, [2-[[2-(4-Aminophenyl)-3-cyclopentylpropionyl]amino]thiazol-4-yl]acetic acid methyl ester **300353-30-4P**, 2-[[2-(4-Aminophenyl)-3-

cyclopentylpropionyl]amino]thiazole-4-carboxylic acid methyl ester **300353-32-6P**, [2-[[2-(3-Chlorophenyl)-3-cyclopentylpropionyl]amino]thiazol-4-yl]acetic acid methyl ester **300353-33-7P**, 2-[[2-(3-Chlorophenyl)-3-cyclopentylpropionyl]amino]thiazole-4-carboxylic acid methyl ester **300353-36-0P**, [2-[[2-(4-Chlorophenyl)-3-cyclopentylpropionyl]amino]thiazol-4-yl]acetic acid methyl ester **300353-38-2P**, 3-Cyclopentyl-N-(4-hydroxymethylthiazol-2-yl)-2-[4-(methanesulfonyl)phenyl]propionamide **300353-39-3P**, 3-Cyclopentyl-N-[4-(2-hydroxyethyl)thiazol-2-yl]-2-[4-(methanesulfonyl)phenyl]propionamide **300353-40-6P**, (2R)-2-[[3-Cyclopentyl-2-(3,4-dichlorophenyl)propionyl]amino]thiazole-4-carboxylic acid methyl ester **300353-42-8P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-pyridin-2-ylpropionamide **300353-45-1P**, 3-Cyclopentyl-2-[4-(methylsulfanyl)phenyl]-N-pyridin-2-ylpropionamide **300353-46-2P**, 3-Cyclopentyl-N-pyridin-2-yl-2-[4-(trifluoromethylsulfanyl)phenyl]propionamide **300353-47-3P**, 3-Cyclopentyl-2-[4-(methanesulfonyl)phenyl]-N-pyridin-2-ylpropionamide **300353-48-4P**, 3-Cyclopentyl-N-pyridin-2-yl-2-[4-(trifluoromethanesulfonyl)phenyl]propionamide **300353-49-5P**, 3-Cyclopentyl-2-[4-(methanesulfonyl)-3-nitrophenyl]-N-pyridin-2-ylpropionamide **300353-52-0P**, 6-[[2-(4-Chlorophenyl)-3-cyclopentylpropionyl]amino]nicotinic acid **300353-53-1P**, 6-[[3-Cyclopentyl-2-[4-(methanesulfonyl)phenyl]propionyl]amino]nicotinic acid **300353-54-2P**, 3-Cyclopentyl-2(3,4-dichlorophenyl)-N-(5-hydroxymethylpyridin-2-yl)propionamide **300353-55-3P**, 2-(4-Chlorophenyl)-3-cyclopentyl-N-(5-hydroxymethylpyridin-2-yl)propionamide **300353-56-4P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-(5-hydroxypyridin-2-yl)propionamide **300353-57-5P**, 3-Cyclopentyl-N-(5-hydroxymethylpyridin-2-yl)-2-[4-(methanesulfonyl)phenyl]propionamide **300353-58-6P**, 3-Cyclopentyl-2-[4-(methanesulfonyl)phenyl]-N-(5-methylpyridin-2-yl)propionamide **300353-59-7P**, N-(5-Chloropyridin-2-yl)-3-cyclopentyl-2(R)-(3,4-dichlorophenyl)propionamide **300353-60-0P**, 3-Cyclopentyl-2(R)-(3,4-dichlorophenyl)-N-pyridin-2-ylpropionamide **300353-61-1P**, 3-Cyclopentyl-2(R)-(3,4-dichlorophenyl)-N-(thiazol-2-yl)propionamide **300353-62-2P**, (2R)-[2-[[3-Cyclopentyl-2-(3,4-dichlorophenyl)propionyl]amino]thiazol-5-yl](oxo)acetic acid ethyl ester **300353-63-3P**, (2R)-[2-[[3-Cyclopentyl-2-(3,4-dichlorophenyl)propionyl]amino]thiazol-4-yl](oxo)acetic acid ethyl ester **300353-64-4P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-(1H-imidazol-2-yl)propionamide **300353-65-5P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-(5-methylisoxazol-3-yl)propionamide **300353-66-6P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-(oxazol-2-yl)propionamide **300353-67-7P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-pyridazin-3-ylpropionamide **300353-68-8P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-pyrimidin-2-ylpropionamide **300353-69-9P**, 3-Cyclopentyl-2(R)-(3,4-dichlorophenyl)-N-pyrimidin-4-ylpropionamide **300353-70-2P**, 3-Cyclopentyl-2-[4-(methanesulfinyl)phenyl]-N-(thiazol-2-yl)propionamide **300353-71-3P**, [2-[[3-Cyclopentyl-2-[4-(trifluoromethanesulfonyl)phenyl]propionyl]amino]thiazol-4-yl]acetic acid ethyl ester **300353-72-4P**, N-(5-Bromopyridin-2-yl)-3-cyclopentyl-2-[4-(trifluoromethanesulfonyl)phenyl]propionamide **300353-73-5P**, 2-(4-Chloro-3-nitrophenyl)-3-cyclopentyl-N-(thiazol-2-yl)propionamide **300353-74-6P**, 2-(4-Chloro-3-nitrophenyl)-3-cyclopentyl-N-pyridin-2-ylpropionamide **300353-75-7P**, N-(5-Bromopyridin-2-yl)-3-cyclopentyl-2-[4-(methanesulfonyl)-3-nitrophenyl]propionamide **300353-76-8P**, 3-Cyclopentyl-2-[3-hydroxyamino-4-(methanesulfonyl)phenyl]-N-(thiazol-2-yl)propionamide **300353-77-9P**, 2-[3-Amino-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-(thiazol-2-yl)propionamide **300353-78-0P**, 3-Cyclopentyl-N-(thiazol-2-yl)-2-[3-(trifluoromethanesulfonyl)phenyl]propionamide **300353-79-1P**, 3-Cyclopentyl-2-(3-fluoro-4-trifluoromethylphenyl)-N-(thiazol-2-

yl)propionamide **300353-80-4P**, 3-Cyclopentyl-2-(3-fluoro-4-trifluoromethylphenyl)-N-pyridin-2-ylpropionamide **300353-81-5P**, 2-[3-Bromo-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-(thiazol-2-yl)propionamide **300353-82-6P**, 2-[3-Bromo-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-pyridin-2-ylpropionamide **300353-83-7P**, 2-[3-Bromo-4-(methanesulfonyl)phenyl]-N-(5-bromopyridin-2-yl)-3-cyclopentylpropionamide **300353-84-8P**, 2-[3-Cyano-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-(thiazol-2-yl)propionamide **300353-85-9P**, 2-[3-Cyano-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-pyridin-2-ylpropionamide **300353-86-0P**, 3-Cyclopentyl-2-[4-(ethanesulfonyl)phenyl]-N-(thiazol-2-yl)propionamide **300353-87-1P**, 3-Cyclopentyl-2-[4-(ethanesulfonyl)phenyl]-N-pyridin-2-ylpropionamide **300353-88-2P**, 2-[3,4-Bis(methanesulfonyl)phenyl]-3-cyclopentyl-N-(thiazol-2-yl)propionamide **300353-89-3P**, 2-[3,4-Bis(methanesulfonyl)phenyl]-3-cyclopentyl-N-pyridin-2-ylpropionamide **300353-90-6P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-[1,2,4]triazin-3-ylpropionamide **300353-91-7P**, 3-Cyclopentyl-2-(4-sulfamoylphenyl)-N-(thiazol-2-yl)propionamide **300353-92-8P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-[1,3,4]thiadiazol-2-ylpropionamide **300353-93-9P**, 2-(4-Cyanophenyl)-3-cyclopentyl-N-(thiazol-2-yl)propionamide **300353-94-0P**, 3-Cyclopentyl-N-pyridin-2-yl-2-(4-trifluoromethylphenyl)propionamide **300353-95-1P**, 2-[4-(Butan-1-ylsulfonyl)phenyl]-3-cyclopentyl-N-(thiazol-2-yl)propionamide **300353-96-2P**, 3-Cyclopentyl-2-[4-(propan-1-ylsulfonyl)phenyl]-N-(thiazol-2-yl)propionamide **300353-97-3P**, 3-Cyclopentyl-2-(4-fluoro-3-trifluoromethylphenyl)-N-(thiazol-2-yl)propionamide **300353-98-4P**, [2-[[3-Cyclopentyl-2-(4-fluoro-3-trifluoromethylphenyl)propionyl]amino]thiazol-4-yl](oxo)acetic acid ethyl ester **300353-99-5P**, 3-Cyclopentyl-2-(4-fluoro-3-trifluoromethylphenyl)-N-(5-methylpyridin-2-yl)propionamide **300354-00-1P**, 3-Cyclopentyl-2-(4-fluoro-3-trifluoromethylphenyl)-N-pyridin-2-ylpropionamide **300354-01-2P**, 3-Cyclopentyl-N-(thiazol-2-yl)-2-(3-trifluoromethylphenyl)propionamide **300354-02-3P**, 3-Cyclopentyl-2-[4-(methanesulfonyl)-3-trifluoromethylphenyl]-N-(thiazol-2-yl)propionamide **300354-03-4P**, 3-Cyclopentyl-2-[4-(methanesulfonyl)-3-trifluoromethylphenyl]-N-pyridin-2-ylpropionamide **300354-04-5P**, 3-Cyclopentyl-2-[4-(methylsulfanyl)-3-trifluoromethylphenyl]-N-(thiazol-2-yl)propionamide **300354-05-6P**, 2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-pyridin-2-ylpropionamide **300354-06-7P**, N-(5-Bromopyridin-2-yl)-2-[3-chloro-4-(methanesulfonyl)phenyl]-3-cyclopentylpropionamide **300354-07-8P**, N-(5-Chloropyridin-2-yl)-2-[3-chloro-4-(methanesulfonyl)phenyl]-3-cyclopentylpropionamide **300354-08-9P**, 2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-(5-trifluoromethylpyridin-2-yl)propionamide **300354-09-0P**, [2-[[2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-cyclopentylpropionyl]amino]thiazol-4-yl](oxo)acetic acid ethyl ester **300354-10-3P**, 2(R)-[3-Chloro-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-(thiazol-2-yl)propionamide **300354-11-4P**, 2(R)-[3-Chloro-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-pyridin-2-ylpropionamide **300354-12-5P**, N-(5-Bromopyridin-2-yl)-2(R)-[3-chloro-4-(methanesulfonyl)phenyl]-3-cyclopentylpropionamide **300354-13-6P**, N-(5-Cyanopyridin-2-yl)-3-cyclopentyl-2-(3,4-dichlorophenyl)propionamide **300354-14-7P**, 3-Cyclopentyl-2(R)-(3,4-dichlorophenyl)-N-(5-trifluoromethylpyridin-2-yl)propionamide **300354-15-8P**, 6-[[3-Cyclopentyl-2(R)-(3,4-dichlorophenyl)propionyl]amino]nicotinic acid **300354-16-9P**, 6-[[3-Cyclopentyl-2-(3,4-dichlorophenyl)propionyl]amino]-N-methylnicotinamide **300354-17-0P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-pyrazin-2-ylpropionamide **300354-18-1P**, N-(5-Bromopyridin-2-yl)-3-cyclopentyl-2(R)-(3,4-dichlorophenyl)propionamide **300354-19-2P**, 3-Cyclopentyl-2(R)-(3,4-dichlorophenyl)-N-(5-hydroxymethylpyridin-2-yl)propionamide

300354-20-5P, 3-Cycloheptyl-2-[4-(methanesulfonyl)phenyl]-N-(thiazol-2-yl)propionamide **300354-21-6P**, 3-Cyclohexyl-2-[4-(methanesulfonyl)phenyl]-N-(thiazol-2-yl)propionamide **300354-24-9P**, 3-Cyclopentyl-2-(3-hydroxyphenyl)-N-(thiazol-2-yl)propionamide **300354-25-0P**, 3-Cyclopentyl-N-(thiazol-2-yl)-2-(4-trifluoromethoxyphenyl)propionamide **300354-27-2P**, 3-Cyclopentyl-2-(3,4-dihydroxyphenyl)-N-(thiazol-2-yl)propionamide **300354-29-4P**, 3-Cyclopentyl-2-(4-hydroxyphenyl)-N-(thiazol-2-yl)propionamide **300354-30-7P**, 4-[2-Cyclopentyl-1-(thiazol-2-ylcarbamoyl)ethyl]benzoic acid methyl ester **300354-32-9P**, 3-Cyclopentyl-2-(3-fluoro-4-hydroxyphenyl)-N-(thiazol-2-yl)propionamide **300354-33-0P**, 6-[[2-(3-Chlorophenyl)-3-cyclopentylpropionyl]amino]nicotinic acid **300354-35-2P**, 2-(4-Aminophenyl)-3-cyclopentyl-N-pyridin-2-ylpropionamide **300354-36-3P**, 6-[[2-(4-Aminophenyl)-3-cyclopentylpropionyl]amino]nicotinic acid methyl ester **300354-37-4P**, 3-Cyclohexyl-2-[4-(methanesulfonyl)-3-trifluoromethylphenyl]-N-(thiazol-2-yl)propionamide **300355-32-2P**, 2-(4-Chlorophenyl)-3-cyclopentyl-N-pyridin-2-ylpropionamide **300355-35-5P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-(5-nitropyridin-2-yl)propionamide **300355-37-7P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-pyrimidin-4-ylpropionamide **300355-38-8P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-(5-methylpyridin-2-yl)propionamide **300355-39-9P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-(4-methylpyridin-2-yl)propionamide **300355-40-2P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-(6-methylpyridin-2-yl)propionamide **300355-41-3P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-(5-chloropyridin-2-yl)propionamide **300355-42-4P**, 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-(5-bromopyridin-2-yl)propionamide **300355-43-5P**, 3-Cyclopentyl-2-(4-nitrophenyl)-N-pyrimidin-4-ylpropionamide **300355-45-7P**, N-(5-Chloropyridin-2-yl)-3-cyclopentyl-2-[4-(trifluoromethanesulfonyl)phenyl]propionamide **300355-46-8P**, 3-Cyclopentyl-N-(5-methylpyridin-2-yl)-2-[4-(trifluoromethanesulfonyl)phenyl]propionamide **300355-47-9P**, 6-[[3-Cyclopentyl-2-[4-(trifluoromethanesulfonyl)phenyl]propionyl]amino]nicotinic acid methyl ester **300355-90-2P**, 2-(4-Cyanophenyl)-3-cyclopentyl-N-pyridin-2-ylpropionamide **300355-91-3P**, 6-[[2-(4-Cyanophenyl)-3-cyclopentylpropionyl]amino]nicotinic acid methyl ester **300355-92-4P**, 6-[[3-Cyclopentyl-2-(4-trifluoromethylphenyl)propionyl]amino]nicotinic acid methyl ester **300356-01-8P**, [2-[[3-Cyclopentyl-2-[4-(methanesulfonyl)-3-trifluoromethylphenyl]propionyl]amino]thiazol-4-yl]acetic acid methyl ester **300356-02-9P**, 2-[[3-Cyclopentyl-2-[4-(methanesulfonyl)-3-trifluoromethylphenyl]propionyl]amino]thiazole-4-carboxylic acid methyl ester **300356-70-1P**, 2-(3-Chlorophenyl)-3-cyclopentyl-N-pyridin-2-ylpropionamide **588929-69-5P**, 2-(4-Chlorophenyl)-3-cyclopentyl-N-(5-hydroxymethylthiazol-2-yl)propionamide **588939-23-5P**, 3-Cyclopentyl-2-[4-(methoxymethanesulfonyl)phenyl]-N-(thiazol-2-yl)propionamide **588939-54-2P**, 3-Cyclopentyl-2(R)-[4-(methylsulfanyl)phenyl]-N-pyrazin-2-ylpropionamide **588939-59-7P**, 3-Cyclopentyl-2(R)-(4-methylsulfonylphenyl)-N-pyrazin-2-ylpropionamide **588939-65-5P**, 3-Cyclopentyl-2(R)-[4-(methanesulfonyl)phenyl]-N-(4-methylthiazol-2-yl)propionamide **588939-79-1P**, 3-Cyclopentyl-2(R)-[4-(methanesulfonyl)phenyl]-N-(5-methylthiazol-2-yl)propionamide **588939-85-9P**, N-(5-Chlorothiazol-2-yl)-3-cyclopentyl-2-[4-(methanesulfonyl)phenyl]propionamide **588939-90-6P**, N-(5-Chlorothiazol-2-yl)-3-cyclopentyl-2(R)-[4-(methanesulfonyl)phenyl]propionamide **588939-98-4P**, N-(5-Bromothiazol-2-yl)-3-cyclopentyl-2-[4-(methanesulfonyl)phenyl]propionamide **588940-11-8P**, N-(5-Bromothiazol-2-yl)-3-cyclopentyl-2(R)-[4-(methanesulfonyl)phenyl]propionamide **588940-17-4P**, 2-[[3-Cyclopentyl-2-[4-(methanesulfonyl)phenyl]propionyl]amino]thiazole-5-

carboxylic acid amide **588940-38-9P**, 3-Cyclopentyl-2-[3-fluoro-4-(methanesulfonyl)phenyl]-N-(thiazol-2-yl)propionamide **588940-56-1P**, 3-Cyclopentyl-2-[3-fluoro-4-(methanesulfonyl)phenyl]-N-pyridin-2-ylpropionamide **588940-63-0P**, N-(5-Bromothiazol-2-yl)-2(R)-[3-chloro-4-(methanesulfonyl)phenyl]-3-cyclopentylpropionamide **588940-83-4P**, 2(R)-[3-Chloro-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-(5-methylthiazol-2-yl)propionamide **588940-88-9P**, 2(R)-[3-Chloro-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-(4-methylthiazol-2-yl)propionamide **588940-95-8P**, 2(R)-[3-Chloro-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-(5-methylpyridin-2-yl)propionamide **588941-00-8P**, 2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-pyrimidin-4-ylpropionamide **588941-05-3P**, 2(R)-[3-Chloro-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-pyrimidin-4-ylpropionamide **588941-11-1P**, 2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-(2-methylpyrimidin-4-yl)propionamide **588941-17-7P**, 2(R)-[3-Chloro-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-(2-methylpyrimidin-4-yl)propionamide **588941-33-7P**, 2(R)-[3-Chloro-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-(2-oxo-1,2-dihydropyrimidin-4-yl)propionamide **588941-40-6P**, 2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-pyrazin-2-ylpropionamide **588941-45-1P**, 2(R)-[3-Chloro-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-pyrazin-2-ylpropionamide **588941-51-9P**, 2-[3-Bromo-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-pyrimidin-4-ylpropionamide **588941-57-5P**, 2-[3-Bromo-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-(2-methylpyrimidin-4-yl)propionamide **588941-62-2P**, 2-[3-Bromo-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-pyrazin-2-ylpropionamide **588941-68-8P**, 2-[3-Bromo-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-(1H-imidazol-2-yl)propionamide **588941-73-5P**, 2-[3-Cyano-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-pyrimidin-4-ylpropionamide **588941-78-0P**, 2-[3-Cyano-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-(2-methylpyrimidin-4-yl)propionamide **588941-84-8P**, 2-[3-Cyano-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-pyrazin-2-ylpropionamide **588941-90-6P**, 3-Cyclopentyl-2-[4-(methylsulfanyl)-3-trifluoromethylphenyl]-N-(5-nitropyridin-2-yl)propionamide **588941-95-1P**, N-(5-Bromopyridin-2-yl)-3-cyclopentyl-2-(4-methylsulfanyl-3-trifluoromethylphenyl)propionamide **588941-99-5P**, N-(5-Cyanopyridin-2-yl)-3-cyclopentyl-2-(4-methylsulfanyl-3-trifluoromethylphenyl)propionamide **588942-03-4P**, 3-Cyclopentyl-2-[4-(methanesulfonyl)-3-trifluoromethylphenyl]-N-(2-oxo-2,3-dihydropyrimidin-4-yl)propionamide **588942-07-8P**, 3-Cyclopentyl-2-[4-(methanesulfonyl)-3-trifluoromethylphenyl]-N-pyrimidin-4-ylpropionamide **588942-11-4P**, 3-Cyclopentyl-2-[4-(methanesulfonyl)-3-trifluoromethylphenyl]-N-pyrazin-2-ylpropionamide **588942-15-8P**, 3-Cyclopentyl-2-[4-(methanesulfonyl)-3-trifluoromethylphenyl]-N-(2-methylpyrimidin-4-yl)propionamide **588942-19-2P**, N-(5-Bromopyridin-2-yl)-3-cyclopentyl-2-[4-(methanesulfonyl)-3-trifluoromethylphenyl]propionamide **588942-28-3P**, 3-Cyclopentyl-2(R)-[4-(methanesulfonyl)-3-trifluoromethylphenyl]-N-(thiazol-2-yl)propionamide **588942-33-0P**, 3-Cyclopentyl-2(R)-[4-(methanesulfonyl)-3-trifluoromethylphenyl]-N-pyrimidin-4-ylpropionamide **588942-55-6P**, 3-Cyclopentyl-2(R)-[4-(methanesulfonyl)-3-trifluoromethylphenyl]-N-pyrazin-2-ylpropionamide **588942-60-3P**, 3-Cyclopentyl-2(R)-[4-(methanesulfonyl)-3-trifluoromethylphenyl]-N-(2-methylpyrimidin-4-yl)propionamide **588942-64-7P**, 3-Cyclopentyl-2-[4-(methanesulfonyl)-3-nitrophenyl]-N-pyrimidin-4-ylpropionamide **588942-68-1P**, 3-Cyclopentyl-2-[4-(methanesulfonyl)-3-nitrophenyl]-N-(2-methylpyrimidin-4-yl)propionamide **588942-71-6P**, 3-Cyclopentyl-2-[4-(methanesulfonyl)-3-nitrophenyl]-N-(2-oxo-1,2-dihydropyrimidin-4-yl)propionamide **588942-76-1P**, 3-Cyclopentyl-2-[4-(methanesulfonyl)-3-nitrophenyl]-N-pyrazin-2-

ylpropionamide **588942-81-8P**, 3-Cyclopentyl-N-(1H-imidazol-2-yl)-2-[4-(methanesulfonyl)-3-nitrophenyl]propionamide **588942-86-3P**, 3-Cyclopentyl-2(R)-(3,4-dichlorophenyl)-N-pyrazin-2-ylpropionamide **588942-91-0P**, N-(5-Bromopyridin-2-yl)-2-(4-chloro-3-nitrophenyl)-3-cyclopentylpropionamide **588942-95-4P**, 3-Cyclopentyl-N-pyrimidin-4-yl-2-(3-trifluoromethylphenyl)propionamide **588943-01-5P**, 3-Cyclopentyl-N-(2-methylpyrimidin-4-yl)-2-(3-trifluoromethylphenyl)propionamide **588943-08-2P**, 3-Cyclopentyl-N-pyrazin-2-yl-2-(3-trifluoromethylphenyl)propionamide **588943-12-8P**, [2-[[3-Cyclopentyl-2-(4-fluoro-3-trifluoromethylphenyl)propionyl]amino]thiazol-4-yl]acetic acid ethyl ester **588943-17-3P**, 3-Cyclopentyl-2-(4-fluoro-3-trifluoromethylphenyl)-N-(5-nitropyridin-2-yl)propionamide **588943-23-1P**, N-(5-Cyanopyridin-2-yl)-3-cyclopentyl-2-(4-fluoro-3-trifluoromethylphenyl)propionamide **588943-31-1P**, 6-[[3-Cyclopentyl-2-(4-fluoro-3-trifluoromethylphenyl)propionyl]amino]nicotinic acid methyl ester **588943-37-7P**, N-(5-Bromopyridin-2-yl)-3-cyclopentyl-2-(4-fluoro-3-trifluoromethylphenyl)propionamide **588943-44-6P**, 3-Cyclopentyl-N-(1H-imidazol-2-yl)-2-[4-(methanesulfonyl)-3-trifluoromethylphenyl]propionamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(glucokinase activator; preparation of cycloalkylheteroaryl propionamides as glucokinase activators)

IT **300354-22-7P**, 3-Cyclopentyl-2-(3-nitrophenyl)-N-(thiazol-2-yl)propionamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate, glucokinase activator; preparation of cycloalkylheteroaryl propionamides as glucokinase activators)

IT **300355-17-3P**, 2-[3-Chloro-4-(methylsulfanyl)phenyl]-3-cyclopentyl-N-(thiazol-2-yl)propionamide **300355-48-0P**, N-(5-Benzyloxypyridin-2-yl)-3-cyclopentyl-2-(3,4-dichlorophenyl)propionamide **588940-26-5P**, 2-[[3-Cyclopentyl-2-[4-(methanesulfonyl)phenyl]propionyl]amino]thiazole-5-carboxylic acid ethyl ester **588940-32-3P**, 2-[[3-Cyclopentyl-2-[4-(methanesulfonyl)phenyl]propionyl]amino]thiazole-5-carboxylic acid **588941-27-9P**, 2(R)-[3-Chloro-4-(methylsulfanyl)phenyl]-3-cyclopentyl-N-(2-methylpyrimidin-4-yl)propionamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of cycloalkylheteroaryl propionamides as glucokinase activators)

IT **300352-91-4**, 2-[[3-Cyclopentyl-2-(3,4-dichlorophenyl)propionyl]amino]thiazole-4-carboxylic acid ethyl ester
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of cycloalkylheteroaryl propionamides as glucokinase activators)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:568272 HCAPLUS
DOCUMENT NUMBER: 139:240091
TITLE: Allosteric activators of glucokinase: Potential role in diabetes therapy
AUTHOR(S): Grimsby, Joseph; Sarabu, Ramakanth; Corbett, Wendy L.; Haynes, Nancy-Ellen; Bizzarro, Fred T.; Coffey, John W.; Guertin, Kevin R.; Hilliard, Darryl W.; Kester, Robert F.; Mahaney, Paige E.; Marcus, Linda; Qi, Lida; Spence, Cheryl L.; Tengi, John; Magnuson, Mark A.;

Chu, Chang An; Dvorozniak, Mark T.; Matschinsky, Franz M.; Grippo, Joseph F.
CORPORATE SOURCE: Department of Metabolic diseases, Hoffmann-La roche Inc., Nutley, NJ, 07110, USA
SOURCE: Science (Washington, DC, United States) (2003), 301(5631), 370-373
CODEN: SCIEAS; ISSN: 0036-8075
PUBLISHER: American Association for the Advancement of Science
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Glucokinase (GK) plays a key role in whole-body glucose homeostasis by catalyzing the phosphorylation of glucose in cells that express this enzyme, such as pancreatic 3 cells and hepatocytes. We describe a class of antidiabetic agents that act as nonessential, mixed-type GK activators (GKAs) that increase the glucose affinity and maximum velocity (Vmax) of GK. GKAs augment both hepatic glucose metabolism and glucose-induced insulin secretion from isolated rodent pancreatic islets, consistent with the expression and function of GK in both cell types. In several rodent models of type 2 diabetes mellitus, GKAs lowered blood glucose levels, improved the results of glucose tolerance tests, and increased hepatic glucose uptake. These findings may lead to the development of new drug therapies for diabetes.
IT **300352-96-9**, Ro 28-0450 **300353-13-3**, Ro 28-1675 **599164-57-5**, Ro 28-1674
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(allosteric activators of glucokinase and potential role in diabetes therapy)
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:516858 HCAPLUS
DOCUMENT NUMBER: 139:65384
TITLE: Methods for purification and crystal structure of human glucokinase and their use in treatment of type II diabetes
INVENTOR(S): Corbett, Wendy Lea; Crowther, Robert Lewis; Dunten, Pete William; Kammlott, R. Ursula; Lukacs, Christine Maria
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
SOURCE: Fr. Demande, 90 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2834295	A1	20030704	FR 2002-16171	20021219
US 2003219887	A1	20031127	US 2002-318308	20021212
GB 2385328	A1	20030820	GB 2002-29456	20021218
DE 10259786	A1	20030717	DE 2002-10259786	20021219
JP 2003235551	A2	20030826	JP 2002-367592	20021219

PRIORITY APPLN. INFO.: US 2001-341988P P 20011219
AB This invention relates to crystal structure of human glucokinase and methods for culturing these proteins. Methods of using glucokinase for treatment of hyperglycemia in type II diabetes are provided.
IT **300354-06-7 300354-08-9 545357-71-9 545357-72-0**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(cocrystn. of glucokinase with; methods for purification and crystal

structure of human glucokinase and their use in treatment of type II diabetes)

L15 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:142705 HCAPLUS
DOCUMENT NUMBER: 136:183830
TITLE: Preparation of tetrazolylphenylacetamide glucokinase activators for treatment or prophylaxis of type II diabetes
INVENTOR(S): Sidduri, Achyutharao
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014312	A1	20020221	WO 2001-EP9207	20010809
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002035266	A1	20020321	US 2001-924247	20010808
US 6369232	B2	20020409		
AU 2001083998	A5	20020225	AU 2001-83998	20010809
EP 1311504	A1	20030521	EP 2001-962926	20010809
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013312	A	20030701	BR 2001-13312	20010809
JP 2004506632	T2	20040304	JP 2002-519452	20010809
US 2002035267	A1	20020321	US 2001-975713	20011011
US 6388088	B2	20020514		
US 2002065275	A1	20020530	US 2002-50508	20020116
US 6441180	B2	20020827		

PRIORITY APPLN. INFO.:
US 2000-225494P P 20000815
US 2001-924247 A3 20010808
WO 2001-EP9207 W 20010809
US 2001-975713 A3 20011011

OTHER SOURCE(S): MARPAT 136:183830

AB Tetrazolylphenylacetamides, 4-R1-3-R2C6H3ZC(O)NHR4 (I; e.g. N-(5-bromopyridin-2-yl)-3-cyclopentyl-2-[3-chloro-4-(5-methyltetrazol-1-yl)phenyl]propionamide (1); Z is (E)-R3(CH2)nCH:C< or R3(CH2)nCH2C*H<; the asterisk denotes an asym. C; 1 of R1 or R2 is 5-R5-1H-tetrazol-1-yl and the other is H, halogen, lower alkyl sulfonyl, perfluoro lower alkyl, cyano, or nitro; R3 is cycloalkyl; R4 is -C(O)-NHR6 or a five- or six-membered heteroarom. ring connected by a ring C atom to the amide group; R5 is lower alkyl, perfluoro lower alkyl; R6 = H, lower alkyl; n = 0, 1), are active as glucokinase activators, and are able to increase insulin secretion, which makes them useful for treating type II diabetes. In the in vitro glucokinase assay, all I described in the synthesis examples had an SC1.5 ≤ 30 μM. Nine I (e.g. 1) have excellent glucokinase activating activity in vivo when administered orally in accordance with the procedure described. 22 Example prepns. are given. For example, a solution of PPh3 (0.9 mmol) in CH2Cl2 (6 mL) was cooled to 0° and then treated with N-bromosuccinimide (0.9 mmol). The

reaction mixture was stirred at 0° for 30 min and then treated with 2-[3-chloro-4-(5-methyltetrazol-1-yl)phenyl]-3-cyclopentylpropionic acid (2; 0.45 mmol). The clear solution was stirred for 15 min at 0° and then allowed to warm to 25° where it was stirred for 2 h. The reaction mixture was then treated with 2-amino-5-bromopyridine (1.35 mmol), and the resulting suspension was stirred for 2 d at 25°. After workup, 42% of 1 was obtained as an amorphous white solid. To prepare intermediate 2, activated Zn dust suspension (10 mmol) in THF was treated with trimethylsilyl chloride (1 mmol), and the suspension was stirred for 15 min at 25°. The reaction mixture was then treated dropwise with a solution of (E)-3-cyclopentyl-2-iodoacrylic acid Me ester (preparation given; 4.5 mmol) in dry THF (2 mL) over 3 min. The reaction mixture was then stirred at 40-45° for 1 h and then stirred overnight at 25°. The reaction mixture was then diluted with dry THF (3 mL), and the stirring was stopped to allow the excess Zn dust to settle down (.apprx.2 h). In a sep. reaction flask, bis(dibenzylideneacetone)palladium(0) (0.1 mmol) and PPh3 (0.4 mmol) in dry THF (4 mL) was stirred at 25° under Ar for 10 min and then treated with 1-(2-chloro-4-iodophenyl)-5-methyl-1H-tetrazole (preparation given; 2.73 mmol) and the freshly prepared Zn compound in THF. The resulting brick red solution was stirred at 25° over the weekend and then heated at 40-45° for 4 h. Workup gave 91% (E)-2-[3-chloro-4-(5-methyltetrazol-1-yl)phenyl]-3-cyclopentylacrylic acid Me ester (3). A solution of Ni(II) chloride hexahydrate (0.8 mmol) and 3 (2.0 mmol) in MeOH (15 mL) was cooled to 0° and then treated with NaBH4 (12 mmol) in five portions. After the addition, the black reaction mixture was stirred for 15 min at 0° and then allowed to warm to 25° where it was stirred for 2 d. Workup gave 99% racemic 2-[3-chloro-4-(5-methyltetrazol-1-yl)phenyl]-3-cyclopentylpropionic acid Me ester (4). A solution of 4 (2.0 mmol) in EtOH (20 mL) was treated with a 1 N aqueous NaOH solution (4 mL). The solution was heated at 45-50° for 3 h, at which time, thin layer chromatog. anal. of the reaction mixture indicated the absence of starting material. Workup gave 90% 2.

IT **400610-22-2P**, 2-[4-(5-Methyl-1-tetrazolyl)-3-fluorophenyl]-3-cyclopentyl-N-thiazol-2-ylpropionamide **400610-28-8P**, N-(5-Bromopyridin-2-yl)-3-cyclopentyl-2-[3-fluoro-4-(5-methyltetrazol-1-yl)phenyl]propionamide **400610-29-9P**, 2-[3-Chloro-4-(5-methyltetrazol-1-yl)phenyl]-3-cyclopentyl-N-thiazol-2-ylpropionamide **400610-34-6P**, 2-[3-Chloro-4-(5-methyltetrazol-1-yl)phenyl]-3-cyclohexyl-N-thiazol-2-ylpropionamide **400610-38-0P**, N-(5-Bromopyridin-2-yl)-3-cyclopentyl-2-[3-chloro-4-(5-methyltetrazol-1-yl)phenyl]propionamide **400610-39-1P**, 2-[3-Chloro-4-(5-trifluoromethyltetrazol-1-yl)phenyl]-3-cyclohexyl-N-thiazol-2-ylpropionamide **400610-44-8P**, 3-Cyclopentyl-2-[4-(5-methyltetrazol-1-yl)-3-trifluoromethylphenyl]-N-thiazol-2-ylpropionamide **400610-49-3P**, N-(5-Bromopyridin-2-yl)-3-cyclopentyl-2-[4-(5-methyltetrazol-1-yl)-3-trifluoromethylphenyl]propionamide **400610-50-6P**, 3-Cyclopentyl-2-[4-methanesulfonyl-3-(5-methyltetrazol-1-yl)phenyl]-N-thiazol-2-ylpropionamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrazolylphenylacetamide glucokinase activators for treatment or prophylaxis of type II diabetes)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:833296 HCAPLUS
DOCUMENT NUMBER: 135:357916
TITLE: Para-amino substituted phenylamide glucokinase activators
INVENTOR(S): Bizzarro, Fred Thomas; Haynes, Nancy-Ellen; Sarabu, Ramakanth

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-g., Switz.
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085707	A1	20011115	WO 2001-EP4859	20010430
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2001010703	A	20030128	BR 2001-10703	20010430
EP 1283830	A1	20030219	EP 2001-943302	20010430
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003532719	T2	20031105	JP 2001-582308	20010430
US 2001051731	A1	20011213	US 2001-846820	20010501
US 6489485	B2	20021203		
US 2003060625	A1	20030327	US 2002-255440	20020926

PRIORITY APPLN. INFO.: US 2000-202389P P 20000508
WO 2001-EP4859 W 20010430
US 2001-846820 A3 20010501

OTHER SOURCE(S): MARPAT 135:357916

AB Para-alkyl, aryl, cycloheteroalkyl or heteroaryl [carbonyl or sulfonyl] amino substituted Ph amides active as glucokinase activators to increase insulin secretion which makes them useful for treating type II diabetes were studied. Seventeen title compds. were prepared via standard methods and their glucokinase activation activities were measured. All compds. had an SC1.5 equal to or less than 30 μM. Among the compds. prepared were 95% N-{4-[2-cyclopentyl-1-(2-thiazolylcarbamoyl)ethyl]phenyl}benzamide and 72% Me 6-(3-cyclopentyl-2-{4-[(3-pyridinecarbonyl)amino]phenyl}propionylamino) nicotinate.

IT **372938-01-7P 372938-02-8P 372938-03-9P**
372938-04-0P 372938-05-1P 372938-06-2P
372938-07-3P 372938-08-4P 372938-09-5P
372938-10-8P 372938-11-9P 372938-12-0P
372938-13-1P 372938-14-2P 372938-15-3P
372938-16-4P 372938-17-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and use of antidiabetic p-amino substituted phenylamide glucokinase activators)

IT **300353-01-9P 300353-24-6P 300353-44-0P**
300354-34-1P 300354-35-2P 300354-36-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and use of antidiabetic p-amino substituted phenylamide glucokinase activators)

IT **372938-22-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and use of antidiabetic p-amino substituted phenylamide glucokinase activators)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:833295 HCAPLUS
DOCUMENT NUMBER: 135:357773
TITLE: Preparation of substituted phenylacetamides and their use as glucokinase activators
INVENTOR(S): Corbett, Wendy Lea; Haynes, Nancy-Ellen; Sarabu, Ramakanth
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085706	A1	20011115	WO 2001-EP4777	20010427
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2001010704	A	20030128	BR 2001-10704	20010427
EP 1282611	A1	20030212	EP 2001-933901	20010427
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003532718	T2	20031105	JP 2001-582307	20010427
US 2002002190	A1	20020103	US 2001-846821	20010501
US 6384220	B2	20020507		

PRIORITY APPLN. INFO.: US 2000-202387P P 20000508
WO 2001-EP4777 W 20010427
OTHER SOURCE(S): MARPAT 135:357773

AB The title compds. 4-R[(CH2)yX]zC6H4CH(CH2R1)CONHR2 [X = O, SO2; R is a ring; R1 is cycloalkyl; y and z are 0 or 1; R2 is -CONHR3 or a heteroarom. ring having a ring nitrogen atom adjacent to the connecting ring carbon atom], active as glucokinase activators to increase insulin secretion, were prepared E.g., 2-biphenyl-4-yl-3-cyclopentyl-N-thiazol-2-ylpropionamide was prepared by reaction of 4-biphenylacetic acid with iodomethylcyclopentane, followed by treatment with 2-aminothiazole.

IT **372509-12-1P 372509-13-2P 372509-16-5P**
372509-22-3P 372509-23-4P 372509-45-0P
372509-49-4P 372509-55-2P 372509-57-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of substituted phenylacetamides and their use as glucokinase activators)

IT **372509-01-8P 372509-02-9P 372509-03-0P**
372509-04-1P 372509-05-2P 372509-06-3P
372509-07-4P 372509-08-5P 372509-09-6P
372509-10-9P 372509-11-0P 372509-14-3P
372509-15-4P 372509-18-7P 372509-19-8P
372509-20-1P 372509-21-2P 372509-24-5P
372509-25-6P 372509-26-7P 372509-27-8P
372509-28-9P 372509-29-0P 372509-30-3P

372509-31-4P 372509-32-5P 372509-33-6P
372509-42-7P 372509-43-8P 372509-44-9P
372509-46-1P 372509-48-3P 372509-50-7P
372509-51-8P 372509-52-9P 372509-53-0P
372509-54-1P 372509-56-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted phenylacetamides and their use as glucokinase activators)

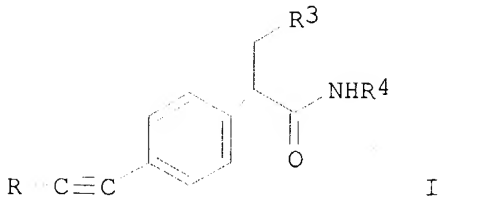
IT **300352-95-8P 372509-74-5P 372509-75-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted phenylacetamides and their use as glucokinase activators)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

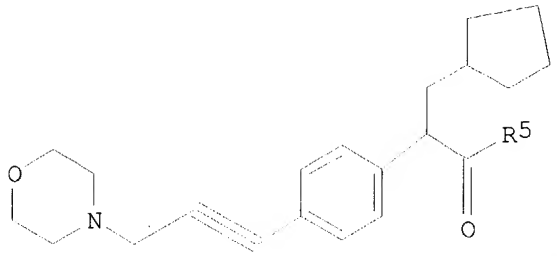
L15 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:816652 HCAPLUS
DOCUMENT NUMBER: 135:357915
TITLE: Preparation of alkynylphenyl N-thiazolepropionamides as glucokinase activators for treatment of type II diabetes
INVENTOR(S): Mahaney, Paige Erin
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083465	A2	20011108	WO 2001-EP4654	20010425
WO 2001083465	A3	20020516		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2001053851	A1	20011220	US 2001-841983	20010425
US 6388071	B2	20020514		
EP 1282612	A2	20030212	EP 2001-949294	20010425
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001010573	A	20030401	BR 2001-10573	20010425
JP 2003531898	T2	20031028	JP 2001-580894	20010425

PRIORITY APPLN. INFO.: US 2000-201546P P 20000503
WO 2001-EP4654 W 20010425
OTHER SOURCE(S): MARPAT 135:357915
GI



I



II

AB P-alkynylphenyl heteroarom. amides I (R = H, (OH)lower alkyl, alkoxy, R1R2N(CH2)n-, unsubstituted or OH-substituted cycloalkyl or S-, O-, or N-heterocycle; R3 = C3-7 cycloalkyl; R4 = (un)substituted S-, O-, or N-heteroarom. ring connected by the ring carbon to NH; R1 and R2 independently are H or lower alkyl or together with the N atom to which they are attached form a 5- or 6-membered heteroarom. ring with 1-3 heteroatoms of S, O, or N) and their pharmaceutically acceptable salts were prepared for use as glucokinase activators to increase insulin secretion and are therefore useful for treating type II diabetes. Thus the glucokinase activator II (R5 = NH(thiazol-2-yl)) was prepared from (4-iodophenyl)acetic acid and iodomethylcyclopentane, then coupled with 4-prop-2-ynylmorpholine giving the intermediate II (R5 = OH) which reacted further with 2-aminothiazole to yield activator II in 71%. In vitro glucokinase activity was assayed and all compds. of formula I had an SC1.5 less than or equal to 30 μM.

IT **372080-87-0P 372080-90-5P 372080-93-8P**
372080-94-9P 372080-97-2P 372081-00-0P
372081-03-3P 372081-06-6P 372081-09-9P
372081-12-4P 372081-15-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-thiazole-2-ylpropionamides as antidiabetic agents)

L15 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:137023 HCAPLUS
DOCUMENT NUMBER: 134:178552
TITLE: 3(5)-Acylaminopyrazole derivatives, process for their preparation and their use as antitumor agents
INVENTOR(S): Pevarello, Paolo; Orsini, Paolo; Traquandi, Gabriella; Varasi, Mario; Fritzen, Edward L.; Warpehoski, Martha A.; Pierce, Betsy S.; Brasca, Maria Grabriella
PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

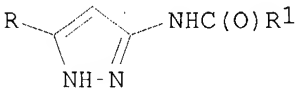
PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2001012189	A1	20010222	WO 2000-US6699	20000505	
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
EP 1202733	A1	20020508	EP 2000-931906	20000505	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
BR 2000013143	A	20020611	BR 2000-13143	20000505	
JP 2003507329	T2	20030225	JP 2001-516535	20000505	
EE 200200065	A	20030415	EE 2002-65	20000505	
NZ 517237	A	20040227	NZ 2000-517237	20000505	
US 6218418	B1	20010417	US 2000-667603	20000922	
NO 2002000684	A	20020403	NO 2002-684	20020211	
HR 2002000128	A1	20030430	HR 2002-128	20020212	
ZA 2002001511	A	20030311	ZA 2002-1511	20020222	
BG 106480	A	20020930	BG 2002-106480	20020305	

PRIORITY APPLN. INFO.:
US 1999-372831 A 19990812
US 2000-560400 A1 20000428
WO 2000-US6699 W 20000505

OTHER SOURCE(S): MARPAT 134:178552

GI



I

AB Compds. which are 3-acylaminopyrazole derivs. (I; e.g. N-(5-cyclopropyl-1H-pyrazol-3-yl)-2,2-diphenylacetamide) wherein R is C3-C6 cycloalkyl group optionally substituted by a straight or branched C1-C6 alkyl or arylalkyl group; R1 is a straight or branched C1-C6 alkyl, C2-C4 alkenyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, arylalkyl, arylcarbonyl, aryloxyalkyl or arylalkenyl group, each of which may be optionally further substituted as indicated in the description; or a pharmaceutically acceptable salt thereof, processes for their preparation and their therapeutic uses. The compds. are useful for the treatment of cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases or neurodegenerative diseases, but no quant. test results are presented. The cancer is selected from carcinoma, squamous cell carcinoma, hematopoietic tumors of myeloid or lymphoid lineage, tumors of mesenchymal origin, tumors of the central and peripheral nervous system, melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma. The cell proliferative disorder is selected from benign prostate hyperplasia, familial adenomatosis polyposis, neuro-fibromatosis, psoriasis, vascular smooth cell proliferation associated with atherosclerosis, pulmonary fibrosis, arthritis glomerulonephritis and post-surgical stenosis and restenosis. The method of treatment provides tumor angiogenesis and metastasis inhibition, cell cycle inhibition or cdk/cyclin dependent inhibition, and treatment or prevention of radiotherapy-induced or chemotherapy-induced alopecia. A process for preparing the 3-aminopyrazole derivative or the pharmaceutically acceptable salt thereof, comprising: (a) reacting RCO2R2 (R2 = alkyl), with MeCN in the presence of a basic agent, to obtain RC(O)CH2CN; (b) reacting RC(O)CH2CN

with hydrazine hydrate to obtain an 3-amino-5-R-1H-pyrazole; (c) oxidizing the 3-amino-5-R-1H-pyrazole to obtain the nitro analog; (d) reacting the nitro compound with tert-butoxycarbonyl anhydride (Boc2O) to obtain the N-Boc derivative; (e) reducing this BOC derivative to obtain the amino analog; (f) reacting this amino compound with R1C(O)X (X = OH or a suitable leaving group) to obtain the N1-Boc-protected I; and (g) hydrolyzing this intermediate in an acidic medium to obtain I. Other methods of preparation are also claimed.

IT **326825-70-1P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(1-oxo-1,3-dihydro-2H-isoindol-2-yl)phenyl]hexanamide **326825-77-8P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[4-(1-oxo-1,3-dihydro-2H-isoindol-2-yl)phenyl]pentanamide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(acylaminopyrazole derivs., process for preparation and use as antitumor agents)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:707150 HCAPLUS
DOCUMENT NUMBER: 133:281775
TITLE: Preparation of arylcycloalkylpropionamides as glucokinase activators.
INVENTOR(S): Bizzarro, Fred Thomas; Corbett, Wendy Lea; Focella, Antonino; Grippo, Joseph Francis; Haynes, Nancy-ellen; Holland, George William; Kester, Robert Francis; Mahaney, Paige E.; Sarabu, Ramakanth
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 353 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000058293	A2	20001005	WO 2000-EP2450	20000320
WO 2000058293	A3	20010125		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
NZ 514038	A	20010928	NZ 2000-514038	20000320
BR 2000009486	A	20020102	BR 2000-9486	20000320
EP 1169312	A2	20020109	EP 2000-918816	20000320
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200102805	T2	20020422	TR 2001-200102805	20000320
JP 2002540196	T2	20021126	JP 2000-607996	20000320
AU 767830	B2	20031127	AU 2000-39630	20000320
AU 2000039630	A5	20001016		
US 6528543	B1	20030304	US 2000-532506	20000321
HR 2001000688	A1	20030630	HR 2001-688	20010919
ZA 2001007833	A	20021223	ZA 2001-7833	20010921
NO 2001004671	A	20010926	NO 2001-4671	20010926

PRIORITY APPLN. INFO.: US 1999-126707P P 19990329
US 1999-165944P P 19991117
US 1999-165948P P 19991117
WO 2000-EP2450 W 20000320

OTHER SOURCE(S): MARPAT 133:281775

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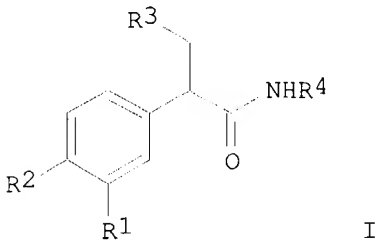

I

AB Title compds. [I; R1, R2 = H, halo, amino, hydroxyamino, NO2, cyano, sulfonamido, perfluoroalkyl, alkylthio, alkylsulfonyl, alkylsulfinyl, etc.; R3 = alkyl, cycloalkyl; R4 = CONHR40, (substituted) 5-6 membered heteroaryl; R40 = H, alkyl, alkenyl, hydroxyalkyl, haloalkyl, etc.], were prepared for treatment of type II diabetes. Thus, 3-cyclopentyl-2-(3,4-dichlorophenyl)propionic acid (preparation given), benzotriazol-1-yloxytris(dimethylamino)phosphonium hexafluorophosphate, and 2-aminothiazole in CH2Cl2 was treated with Et3N followed by 14 h stirring to give 3-cyclopentyl-2-(3,4-dichlorophenyl)-N-thiazol-2-ylpropionamide. I activated glucokinase in vitro with SC1.5≤30 μM.

IT **300352-96-9P 300353-06-4P 300353-14-4P**
300353-44-0P 300354-22-7P 300354-34-1P
300356-30-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of arylcycloalkylpropionamides as glucokinase activators)

IT **300352-85-6P 300352-86-7P 300352-87-8P**
300352-88-9P 300352-89-0P 300352-90-3P
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300353-01-9P 300353-02-0P 300353-03-1P
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300355-90-2P 300355-91-3P 300355-92-4P
300356-01-8P 300356-02-9P 300356-70-1P
300356-87-0P 300363-04-6P 300363-05-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylcycloalkylpropionamides as glucokinase activators)

IT 300355-17-3P 300355-48-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylcycloalkylpropionamides as glucokinase activators)

=>
=> fil reg
FILE 'REGISTRY' ENTERED AT 10:55:17 ON 08 JUN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 7 JUN 2004 HIGHEST RN 690625-61-7
DICTIONARY FILE UPDATES: 7 JUN 2004 HIGHEST RN 690625-61-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
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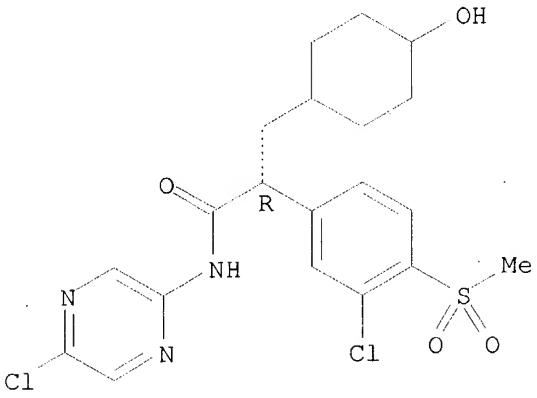
=> => s l11 not l14
L16 384 L11 NOT L14

=> d ide can l16 1 20 50 70 100 120 150 170 200 220 250 270 300 320 350 370 384

L16 ANSWER 1 OF 384 REGISTRY COPYRIGHT 2004 ACS on STN
RN 625826-90-6 REGISTRY
CN Benzeneacetamide, 3-chloro-N-(5-chloropyrazinyl)-α-[(4-hydroxycyclohexyl)methyl]-4-(methylsulfonyl)-, (αR)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H23 Cl2 N3 O4 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



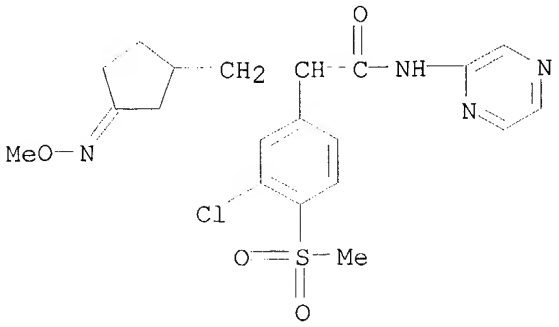
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:395954

L16 ANSWER 20 OF 384 REGISTRY COPYRIGHT 2004 ACS on STN
RN 625114-49-0 REGISTRY
CN Benzeneacetamide, 3-chloro-α-[[3-(methoxyimino)cyclopentyl]methyl]-4-(methylsulfonyl)-N-pyrazinyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-[3-(methoxyimino)cyclopentyl]-N-(pyrazin-2-yl)propionamide
FS 3D CONCORD
MF C20 H23 Cl N4 O4 S
SR CA

LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



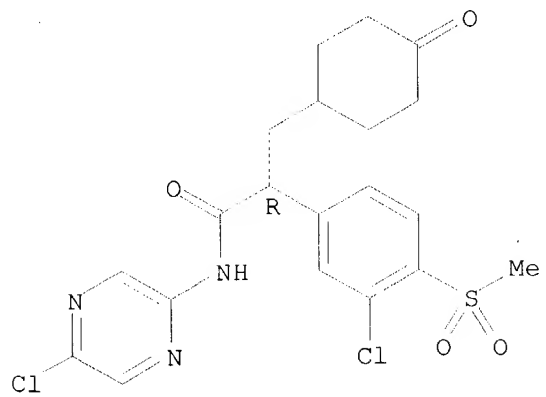
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:395954

L16 ANSWER 50 OF 384 REGISTRY COPYRIGHT 2004 ACS on STN
RN 625112-91-6 REGISTRY
CN Benzeneacetamide, 3-chloro-N-(5-chloropyrazinyl)-4-(methylsulfonyl)-α-[(4-oxocyclohexyl)methyl]-, (αR)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-(R)-[3-Chloro-4-(methanesulfonyl)phenyl]-N-(5-chloropyrazin-2-yl)-3-(4-oxocyclohexyl)propionamide
FS STEREOSEARCH
MF C20 H21 Cl2 N3 O4 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:395954

L16 ANSWER 70 OF 384 REGISTRY COPYRIGHT 2004 ACS on STN
RN 588942-33-0 REGISTRY
CN Benzeneacetamide, α-(cyclopentylmethyl)-4-(methylsulfonyl)-N-4-pyrimidinyl-3-(trifluoromethyl)-, (αR)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 3-Cyclopentyl-2(R)-[4-(methanesulfonyl)-3-trifluoromethylphenyl]-N-pyrimidin-4-ylpropionamide
FS STEREOSEARCH
MF C20 H22 F3 N3 O3 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
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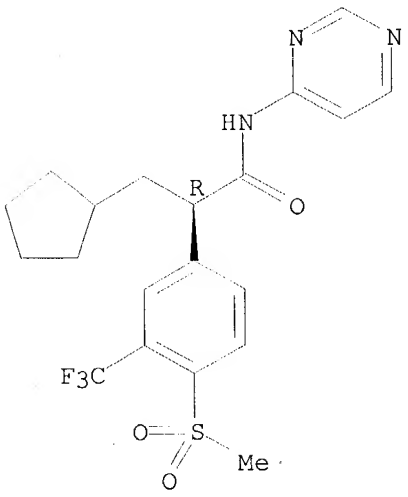
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry. Rotation (-).



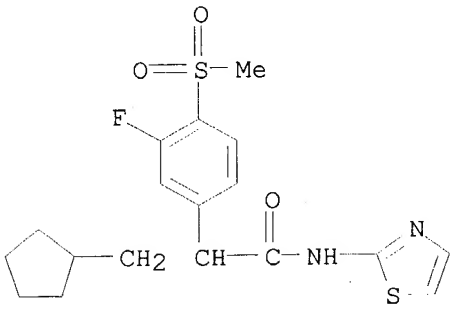
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:214460

L16 ANSWER 100 OF 384 REGISTRY COPYRIGHT 2004 ACS on STN
RN 588940-38-9 REGISTRY
CN Benzeneacetamide, α-(cyclopentylmethyl)-3-fluoro-4-(methylsulfonyl)-N-2-thiazolyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 3-Cyclopentyl-2-[3-fluoro-4-(methanesulfonyl)phenyl]-N-(thiazol-2-yl)propionamide
FS 3D CONCORD

MF C18 H21 F N2 O3 S2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

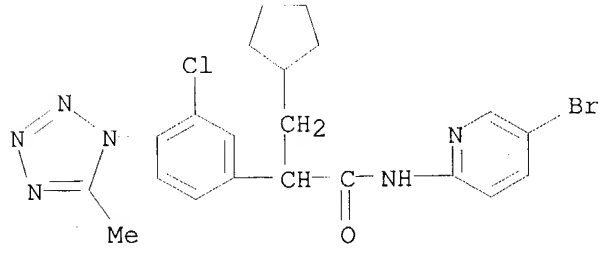


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:214460

L16 ANSWER 120 OF 384 REGISTRY COPYRIGHT 2004 ACS on STN
RN 400610-38-0 REGISTRY
CN Benzeneacetamide, N-(5-bromo-2-pyridinyl)-3-chloro-α-(cyclopentylmethyl)-4-(5-methyl-1H-tetrazol-1-yl)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN N-(5-Bromopyridin-2-yl)-3-cyclopentyl-2-[3-chloro-4-(5-methyltetrazol-1-yl)phenyl]propionamide
FS 3D CONCORD
MF C21 H22 Br Cl N6 O
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

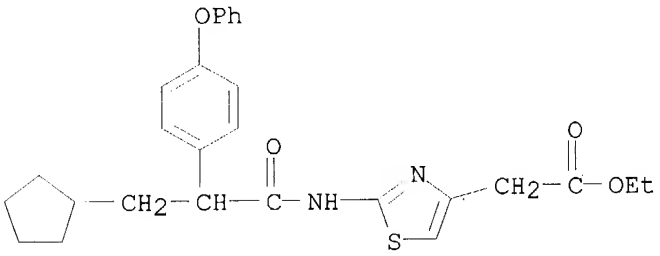


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:183830

L16 ANSWER 150 OF 384 REGISTRY COPYRIGHT 2004 ACS on STN
RN 372509-52-9 REGISTRY
CN 4-Thiazoleacetic acid, 2-[[3-cyclopentyl-1-oxo-2-(4-phenoxyphenyl)propyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C27 H30 N2 O4 S
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

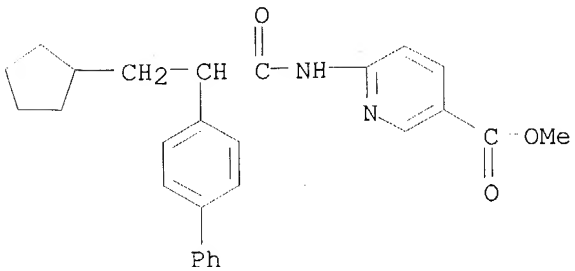


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:357773

L16 ANSWER 170 OF 384 REGISTRY COPYRIGHT 2004 ACS on STN
RN 372509-23-4 REGISTRY
CN 3-Pyridinecarboxylic acid, 6-[(2-[1,1'-biphenyl]-4-yl-3-cyclopentyl-1-oxopropyl)amino]-, methyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C27 H28 N2 O3
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

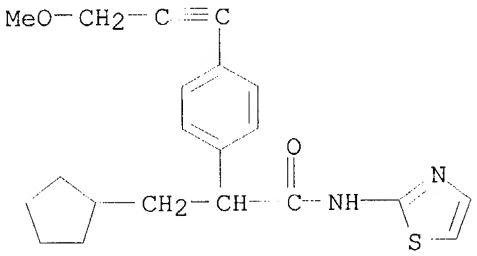


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:357773

L16 ANSWER 200 OF 384 REGISTRY COPYRIGHT 2004 ACS on STN
RN 372080-93-8 REGISTRY
CN Benzeneacetamide, α-(cyclopentylmethyl)-4-(3-methoxy-1-propynyl)-N-2-thiazolyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H24 N2 O2 S
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



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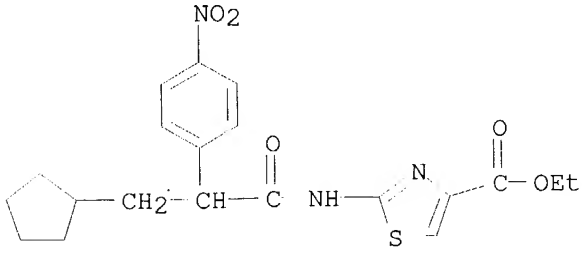
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:357915

L16 ANSWER 220 OF 384 REGISTRY COPYRIGHT 2004 ACS on STN
RN 300355-44-6 REGISTRY
CN 4-Thiazolecarboxylic acid, 2-[[3-cyclopentyl-2-(4-nitrophenyl)-1-oxopropyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-[[3-Cyclopentyl-2-(4-nitrophenyl)propionyl]amino]thiazole-4-carboxylic acid ethyl ester
FS 3D CONCORD
MF C20 H23 N3 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)



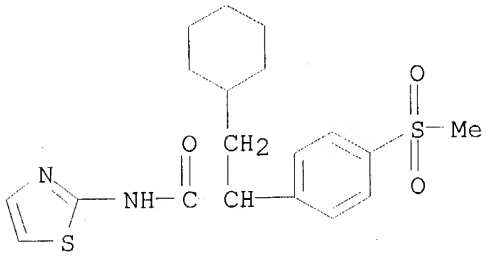
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:214460

REFERENCE 2: 133:281775

L16 ANSWER 250 OF 384 REGISTRY COPYRIGHT 2004 ACS on STN
RN 300354-21-6 REGISTRY
CN Benzeneacetamide, α-(cyclohexylmethyl)-4-(methylsulfonyl)-N-2-thiazolyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 3-Cyclohexyl-2-[4-(methanesulfonyl)phenyl]-N-(thiazol-2-yl)propionamide
FS 3D CONCORD
MF C19 H24 N2 O3 S2
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



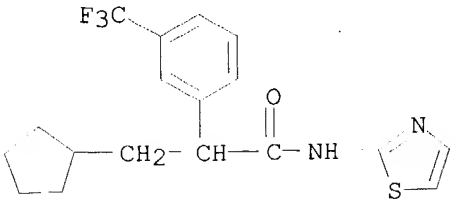
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:214460

REFERENCE 2: 133:281775

L16 ANSWER 270 OF 384 REGISTRY COPYRIGHT 2004 ACS on STN
RN 300354-01-2 REGISTRY
CN Benzeneacetamide, α-(cyclopentylmethyl)-N-2-thiazolyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 3-Cyclopentyl-N-(thiazol-2-yl)-2-(3-trifluoromethylphenyl)propionamide
FS 3D CONCORD
MF C18 H19 F3 N2 O S
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



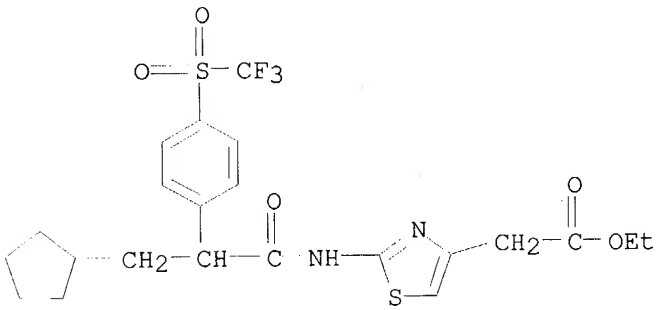
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:214460

REFERENCE 2: 133:281775

L16 ANSWER 300 OF 384 REGISTRY COPYRIGHT 2004 ACS on STN
RN 300353-71-3 REGISTRY
CN 4-Thiazoleacetic acid, 2-[[3-cyclopentyl-1-oxo-2-[4-[(trifluoromethyl)sulfonyl]phenyl]propyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)
OTHER NAMES:
CN [2-[[3-Cyclopentyl-2-[4-(trifluoromethanesulfonyl)phenyl]propionyl]amino]thiazol-4-yl]acetic acid ethyl ester
FS 3D CONCORD
MF C22 H25 F3 N2 O5 S2
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

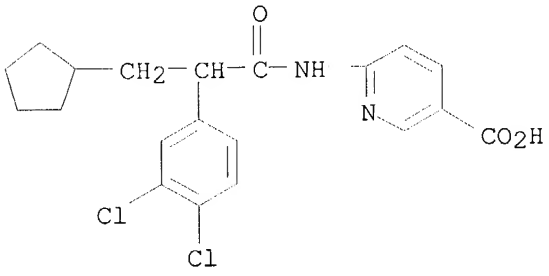
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:214460

REFERENCE 2: 133:281775

L16 ANSWER 320 OF 384 REGISTRY COPYRIGHT 2004 ACS on STN
RN 300353-51-9 REGISTRY
CN 3-Pyridinecarboxylic acid, 6-[[3-cyclopentyl-2-(3,4-dichlorophenyl)-1-

oxopropyl]amino]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 6-[[3-Cyclopentyl-2-(3,4-dichlorophenyl)propionyl]amino]nicotinic acid
FS 3D CONCORD
MF C20 H20 Cl2 N2 O3
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)



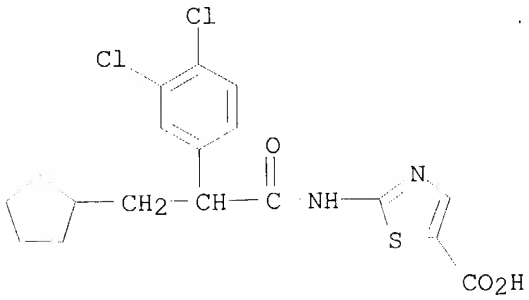
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:214460

REFERENCE 2: 133:281775

L16 ANSWER 350 OF 384 REGISTRY COPYRIGHT 2004 ACS on STN
RN 300353-19-9 REGISTRY
CN 5-Thiazolecarboxylic acid, 2-[[3-cyclopentyl-2-(3,4-dichlorophenyl)-1-oxopropyl]amino]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-[[3-Cyclopentyl-2-(3,4-dichlorophenyl)propionyl]amino]thiazole-5-carboxylic acid
FS 3D CONCORD
MF C18 H18 Cl2 N2 O3 S
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)



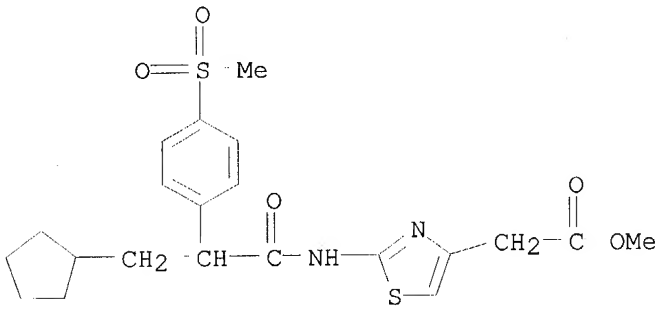
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:214460

REFERENCE 2: 133:281775

L16 ANSWER 370 OF 384 REGISTRY COPYRIGHT 2004 ACS on STN
RN 300352-99-2 REGISTRY
CN 4-Thiazoleacetic acid, 2-[[3-cyclopentyl-2-[4-(methylsulfonyl)phenyl]-1-oxopropyl]amino]-, methyl ester (9CI) (CA INDEX NAME)
OTHER NAMES:
CN [2-[[3-Cyclopentyl-2-[4-(methanesulfonyl)phenyl]propionyl]amino]thiazol-4-yl]acetic acid methyl ester
FS 3D CONCORD
MF C21 H26 N2 O5 S2
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



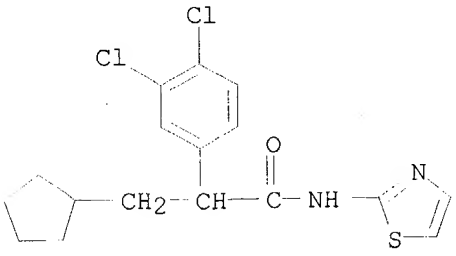
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:214460

REFERENCE 2: 133:281775

L16 ANSWER 384 OF 384 REGISTRY COPYRIGHT 2004 ACS on STN
RN 300352-85-6 REGISTRY
CN Benzeneacetamide, 3,4-dichloro-α-(cyclopentylmethyl)-N-2-thiazolyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 3-Cyclopentyl-2-(3,4-dichlorophenyl)-N-(thiazol-2-yl)propionamide
FS 3D CONCORD
MF C17 H18 Cl2 N2 O S
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:214460

REFERENCE 2: 133:281775

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=> d stat que l18 nos
L3 STR
L5 842 SEA FILE=REGISTRY SSS FUL L3
L10 STR
L11 389 SEA FILE=REGISTRY SUB=L5 SSS FUL L10
L17 3 SEA FILE=BEILSTEIN SSS FUL L10
L18 1 SEA FILE=BEILSTEIN ABB=ON PLU=ON L17 NOT L11

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=>

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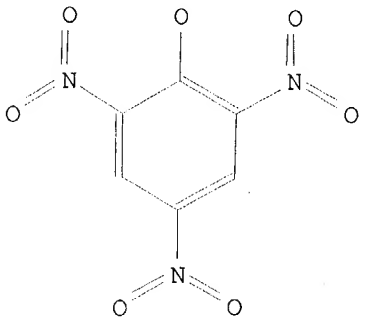
L18 ANSWER 1 OF 1 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN):	3882737
Chemical Name (CN):	2,3-diphenyl-propionic acid-<2>pyridylamide; picrate
Molecular Formula (MF):	C20 H18 N2 O . C6 H3 N3 O7
Molecular Weight (MW):	302.38, 229.11
Lawson Number (LN):	27378, 10795, 5222
Beilstein Citation (BSO):	4-22-00-03888

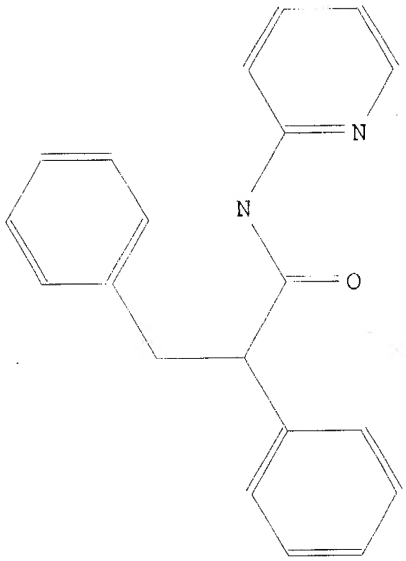
CM 1

FBRN 423400
FMF C6 H3 N3 O7



CM 2

FBRN 258797
FMF C20 H18 N2 O



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